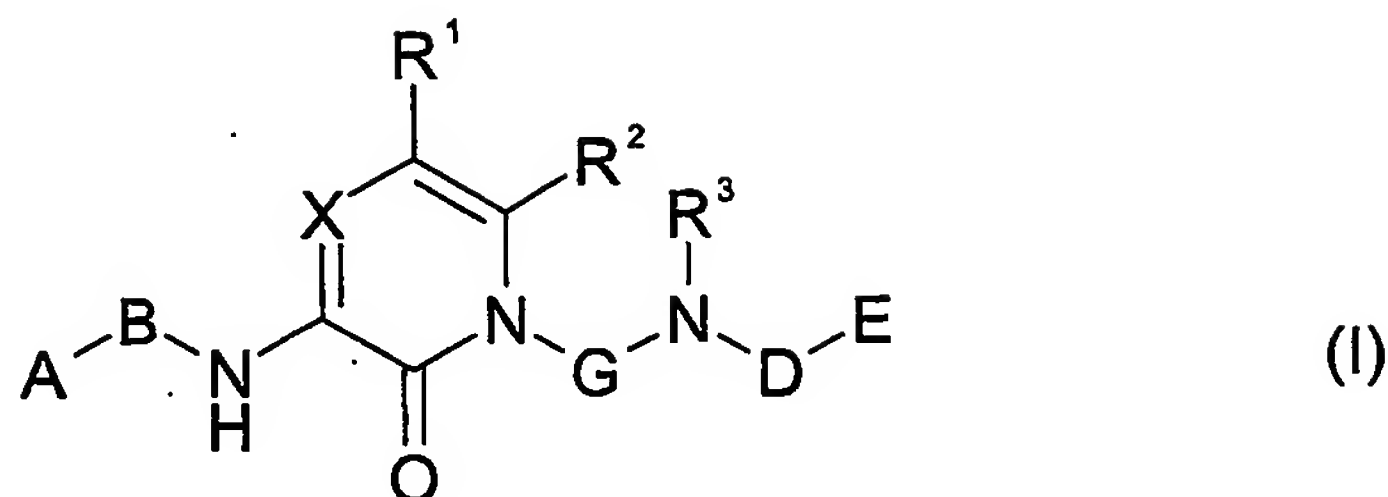


## Claims

1. A compound of formula (I)



or a pharmaceutically acceptable salt thereof, wherein:

R<sup>1</sup> is hydrogen;  
halogen; or  
C<sub>1-4</sub> alkyl, optionally substituted with one or more fluoro;

R<sup>2</sup> is hydrogen;  
halogen;  
C<sub>1-6</sub> alkyl, optionally substituted with one or more fluoro;  
C<sub>3-6</sub> cycloalkyl; or  
O-C<sub>1-4</sub> alkyl;

R<sup>3</sup> is hydrogen;  
C<sub>1-4</sub> alkyl; or  
C<sub>3-6</sub> cycloalkyl;

A is A<sup>1</sup>, wherein A<sup>1</sup> is selected from the group consisting of:  
phenyl;  
naphthyl;  
heterocycle containing up to 4 heteroatoms, which are the same or different and  
selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=,  
-N(O)= and -N(R<sup>4</sup>)-; and  
heterobicycles containing up to 6 heteroatoms, which are the same or different

and selected from the group consisting of  $-\bar{O}-$ ,  $-\bar{S}-$ ,  $-\bar{S}(\bar{O})-$ ,  $-\bar{S}(\bar{O}_2)-$ ,  $-\bar{N}=$ ,  $-\bar{N}(\bar{O})=$  and  $-\bar{N}(\bar{R}^4)-$ ;

wherein  $A^1$  is optionally substituted with one or independently from each other more of

$A^2$ ;

$A^3$ ;

halogen;

$-\bar{N}(\bar{R}^5\bar{R}^6)$ ;

$-\bar{O}H$ ;

$=\bar{O}$ , where the ring is at least partially saturated;

$C_{3-6}$  cycloalkyl;

$-\bar{C}O\bar{O}R^7$ ; or

$-\bar{C}O\bar{N}R^8R^9$ ;

$-\bar{S}(\bar{O})_2\bar{N}R^{8a}R^{9a}$

and wherein  $R^4$ ,  $R^5$ ,  $R^6$  are independently selected from the group consisting of  $R^{7a}$ ,  $-\bar{C}(\bar{O})-R^{7a}$ ,  $-\bar{C}(\bar{O})\bar{O}-R^{7a}$ ,  $-\bar{C}(\bar{O})\bar{N}R^{7a}R^{7b}$ ,  $-\bar{S}(\bar{O})_2\bar{N}R^{7a}R^{7b}$ , and  $\bar{S}(\bar{O})_2-R^{7a}$ ;

and wherein  $R^7$ ,  $R^{7a}$ ,  $R^{7b}$ ,  $R^8$ ,  $R^{8a}$ ,  $R^9$ ,  $R^{9a}$  are independently hydrogen or  $C_{1-4}$  alkyl, wherein each  $C_{1-4}$  alkyl is optionally substituted with one or more substituents independently selected from the group consisting of  $-\bar{C}O\bar{O}H$ ;  $-\bar{O}H$ ;  $-\bar{N}H_2$ ;  $-\bar{N}H-C_{1-4}$  alkyl;  $-\bar{N}(C_{1-4}$  alkyl) $_2$ ; and  $C_{3-6}$  cycloalkyl;

Optionally  $R^4$  is a bond to directly attach A to B;

$A^2$  is selected from the group consisting of  $A^4$ ,  $-\bar{O}-A^4$  and  $-\bar{N}(R^{10})-A^4$ ,

wherein  $A^4$  is phenyl or a heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of  $-\bar{O}-$ ,  $-\bar{S}-$ ,  $-\bar{S}(\bar{O})-$ ,  $-\bar{S}(\bar{O}_2)-$ ,  $-\bar{N}=$ ,  $-\bar{N}(\bar{O})=$  and  $-\bar{N}(\bar{R}^{11})-$ ; wherein  $A^4$  is optionally substituted with one or independently from each other more of

fluoro;

chloro;

$-\bar{N}(R^{12}R^{13})$

$C_{1-4}$  alkyl or  $-\bar{O}-C_{1-4}$  alkyl, both optionally substituted with one or independently from each other more of fluoro or  $-\bar{N}(R^{14}R^{15})$ ;

and wherein  $R^{10}$ ,  $R^{12}$ ,  $R^{13}$ ,  $R^{14}$ ,  $R^{15}$  are independently hydrogen or  $C_{1-4}$  alkyl;

and wherein  $R^{11}$  is selected from the group consisting of hydrogen,  $C_{1-4}$  alkyl

and -C(O)-C<sub>1-4</sub> alkyl;

A<sup>3</sup> is selected from the group consisting of C<sub>1-6</sub> alkyl, -O-C<sub>1-6</sub> alkyl and -N(R<sup>16</sup>)-C<sub>1-6</sub> alkyl, wherein the C<sub>1-6</sub> alkyl group is optionally substituted with one or independently from each other more of

fluoro;

-N(R<sup>17</sup>R<sup>18</sup>);

A<sup>5</sup>;

and/or A<sup>3</sup> is optionally interrupted with one or more oxygen;

and wherein R<sup>16</sup>, R<sup>17</sup>, R<sup>18</sup> are independently hydrogen or C<sub>1-4</sub>alkyl;

A<sup>5</sup> is phenyl or a heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=, -N(O)= and -N(R<sup>19</sup>)-; wherein A<sup>5</sup> is optionally substituted with one or independently from each other more of

fluoro;

chloro;

-N(R<sup>20</sup>R<sup>21</sup>)

C<sub>1-4</sub> alkyl or -O-C<sub>1-4</sub> alkyl, both optionally substituted with one or independently from each other more of fluoro or -N(R<sup>22</sup>R<sup>23</sup>);

and wherein R<sup>19</sup> is selected from the group consisting of hydrogen, C<sub>1-4</sub> alkyl and -C(O)-C<sub>1-4</sub> alkyl;

and wherein R<sup>20</sup>, R<sup>21</sup>, R<sup>22</sup>, R<sup>23</sup> are independently hydrogen or C<sub>1-4</sub> alkyl;

B is selected from the group consisting of -Y-Z-; -Y-Z-C(O)-; -Y-Z-O-C(O)-; -Y-Z-S(O)<sub>2</sub>-; and -Y-Z-NH-C(O)- wherein

Y is a bond, -O-, -S-, -N(R<sup>24</sup>)-, -N(R<sup>25</sup>)-C(O)-, -C(O)-N(R<sup>26</sup>)-, or -C(O)-;

Z is C<sub>1-6</sub> alkyl,

optionally interrupted with oxygen, sulfur or -N(R<sup>27</sup>)-

and/or optionally substituted with one or independently from each other more of

halogen;

C<sub>3-6</sub> cycloalkyl;

-COOR<sup>28</sup>;

-CON(R<sup>29</sup>R<sup>30</sup>)

and/or optionally one chain carbon forms part of a C<sub>3-6</sub> cycloalkyl;  
and wherein R<sup>24</sup>, R<sup>25</sup>, R<sup>26</sup>, R<sup>27</sup>, R<sup>28</sup>, R<sup>29</sup>, R<sup>30</sup> are independently

hydrogen; or

C<sub>1-4</sub> alkyl, optionally substituted with -COOR<sup>31</sup> or -CON(R<sup>32</sup>R<sup>33</sup>)

wherein R<sup>31</sup>, R<sup>32</sup>, R<sup>33</sup> are independently hydrogen or

C<sub>1-4</sub> alkyl;

X is =C(R<sup>34</sup>)- or =N-, wherein R<sup>34</sup> is

hydrogen;

C<sub>1-6</sub> alkyl, optionally substituted with one or more fluoro; or

-S(O)<sub>2</sub>R<sup>35</sup>, wherein R<sup>35</sup> is selected from the group consisting of X<sup>1</sup>, C<sub>1-6</sub> alkyl,

and -C<sub>1-6</sub> alkyl-X<sup>1</sup>; wherein R<sup>35</sup> is optionally substituted with one or

independently from each other more of

fluoro;

chloro;

C<sub>1-4</sub> alkyl; or

-O-C<sub>1-4</sub> alkyl;

X<sup>1</sup> is phenyl or heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of -O-, -S-, -S(O)-, -S(O)<sub>2</sub>-, -N=, -N(O)= and -N(R<sup>36</sup>)-; and wherein R<sup>36</sup> is selected from the group consisting of hydrogen, C<sub>1-4</sub> alkyl and -C(O)-C<sub>1-4</sub> alkyl;

G is -CH(R<sup>37</sup>)-C(R<sup>38</sup>R<sup>39</sup>)-;

-CH(R<sup>37</sup>)-C(R<sup>38</sup>R<sup>39</sup>)-C(R<sup>40</sup>R<sup>41</sup>)-;

wherein R<sup>37</sup>, R<sup>38</sup>, R<sup>39</sup>, R<sup>40</sup>, R<sup>41</sup> are independently

hydrogen;

C<sub>1-4</sub> alkyl, optionally substituted with one or more fluoro;

C<sub>3-6</sub> cycloalkyl, optionally substituted with one or more fluoro;

or R<sup>38</sup> and R<sup>39</sup> or R<sup>40</sup> and R<sup>41</sup> form together C<sub>3-6</sub> cycloalkyl, optionally substituted with one or more fluoro, -OH, C<sub>1-4</sub> alkyl;

or R<sup>37</sup> and R<sup>38</sup> or R<sup>38</sup> and R<sup>40</sup> form together C<sub>3-6</sub> cycloalkyl, optionally substituted with one or more fluoro, -OH, C<sub>1-4</sub> alkyl;

D is C<sub>1-6</sub> alkyl,

optionally interrupted with oxygen, sulfur or  $-N(R^{42})-$   
 and/or optionally substituted with halogen,  $C_{3-6}$  cycloalkyl;  
 and/or optionally one chain carbon or two vicinal carbons form part of a  $C_{3-6}$   
 cycloalkyl, wherein  $R^{42}$  is selected from the group consisting of hydrogen,  $C_{1-4}$   
 alkyl,  $C_{3-6}$  cycloalkyl and  $-C(O)-C_{1-4}$  alkyl;

E is  $E^1$ , wherein  $E^1$  is selected from the group consisting of  
 phenyl;  
 naphthyl;  
 heterocycle containing up to 4 heteroatoms, which are the same or different and  
 selected from the group consisting of  $-O-$ ,  $-S-$ ,  $-S(O)-$ ,  $-S(O_2)-$ ,  $-N=$ ,  
 $-N(O)=$  and  $-N(R^{43})-$ ; and  
 heterobicycle containing up to 6 heteroatoms, which are the same or different  
 and selected from the group consisting of  $-O-$ ,  $-S-$ ,  $-S(O)-$ ,  $-S(O_2)-$ ,  $-N=$ ,  
 $-N(O)=$  and  $-N(R^{44})-$ ;  
 wherein  $E^1$  is optionally substituted with one or independently from each other  
 more of

$E^2$ ;  
 $E^3$ ;  
 halogen;  
 $-N(R^{45}R^{46})$ ;  
 $-OH$ ;  
 $=O$ , where the ring is at least partially saturated;  
 $C_{3-6}$  cycloalkyl;  
 $-COOR^{47}$ ; or  
 $-CONR^{48}R^{49}$ ;  
 $-S(O)_2NR^{48a}R^{49a}$ ;

and wherein  $R^{43}$ ,  $R^{44}$ ,  $R^{45}$ ,  $R^{46}$  are independently selected from the group  
 consisting of hydrogen;

$C_{1-4}$  alkyl optionally substituted with  $-OH$ ;  
 and  $-C(O)-C_{1-4}$  alkyl optionally substituted with  $-OH$ ;

and wherein  $R^{47}$ ,  $R^{48}$ ,  $R^{48a}$ ,  $R^{49}$ ,  $R^{49a}$  are independently hydrogen or  $C_{1-4}$  alkyl,  
 optionally substituted with  $-OH$ ;

$E^2$  is selected from the group consisting of  $E^4$ ,  $-C(O)-E^4$ ,  $-O-E^4$  and  $-N(R^{50})-E^4$ ,

wherein  $E^4$  is phenyl or heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=, -N(O)= and -N(R<sup>51</sup>)-; wherein  $E^4$  is optionally substituted with one or independently from each other more of

fluoro;

chloro;

cyano;

=O, where the ring is at least partially saturated;

-N(R<sup>52</sup>R<sup>53</sup>);

C<sub>1-4</sub> alkyl; or

-O-C<sub>1-4</sub> alkyl;

and wherein R<sup>50</sup>, R<sup>52</sup>, R<sup>53</sup> are independently hydrogen or C<sub>1-4</sub> alkyl, optionally substituted with -OH;

and wherein R<sup>51</sup> is selected from the group consisting of

hydrogen;

C<sub>1-4</sub> alkyl, optionally substituted with -OH; and

-C(O)-C<sub>1-4</sub> alkyl, optionally substituted with -OH;

$E^3$  is selected from the group consisting of C<sub>1-6</sub> alkyl, -O-C<sub>1-6</sub> alkyl; -N(R<sup>54</sup>)-C<sub>1-6</sub> alkyl, wherein  $E^3$  is optionally substituted with one or independently from each other more of

fluoro;

-N(R<sup>55</sup>R<sup>56</sup>);

$E^5$ ;

and/or  $E^3$  is optionally interrupted with one or more oxygen;

and wherein R<sup>54</sup>, R<sup>55</sup>, R<sup>56</sup> are independently hydrogen or C<sub>1-4</sub>alkyl, optionally substituted with -OH;

$E^5$  is phenyl or heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=, -N(O)= and -N(R<sup>57</sup>)-; wherein  $E^5$  is optionally substituted with one or independently from each other more of

fluoro;

chloro;

cyano;

=O, where the ring is at least partially saturated;

-N(R<sup>58</sup>R<sup>59</sup>);

C<sub>1-4</sub> alkyl or

-O-C<sub>1-4</sub> alkyl;

and wherein R<sup>57</sup> is independently selected from the group consisting of hydrogen;

C<sub>1-4</sub> alkyl, optionally substituted with -OH; and

-C(O)-C<sub>1-4</sub> alkyl, optionally substituted with -OH;

and wherein R<sup>58</sup>, R<sup>59</sup> are independently hydrogen or C<sub>1-4</sub> alkyl, optionally substituted with -OH.

2. A compound according to claim 1, wherein R<sup>1</sup> is hydrogen.
3. A compound according to claim 1 or 2, wherein R<sup>2</sup> is hydrogen, chloro, -CH<sub>3</sub>, -CH<sub>2</sub>-CH<sub>3</sub>, -CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>3</sub>, -CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>3</sub>, -CH<sub>2</sub>F, -CHF<sub>2</sub> or -CN.
4. A compound according to any one of the preceding claims, wherein R<sup>3</sup> is hydrogen.
5. A compound according to any one of the preceding claims, wherein A<sup>1</sup> is phenyl or heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=, -N(O)= and -N(R<sup>4</sup>)-, wherein R<sup>4</sup> has the meaning as indicated in claim 1.
6. A compound according to claim 5, wherein A<sup>1</sup> is selected from the group consisting of phenyl, pyridine, pyridine-N oxide, piperidine, morpholine, and pyrrolidine.
7. A compound according to any of the preceding claims, wherein R<sup>4</sup> is a bond, -COOC<sub>1-4</sub> alkyl, methyl, ethyl, 2-hydroxyethyl, -COOH, -CH<sub>2</sub>-COOH, -CH<sub>2</sub>-COO-C<sub>1-4</sub> alkyl or cyclopropylmethyl and wherein A<sup>1</sup> is optionally substituted with up to 4 F.
8. A compound according to any one of the preceding claims, wherein B is -Y-Z-.
9. A compound according to any one of the preceding claims, wherein Y is a bond, -O-, -NH-, -S(O)<sub>2</sub>- or -C(O)-.

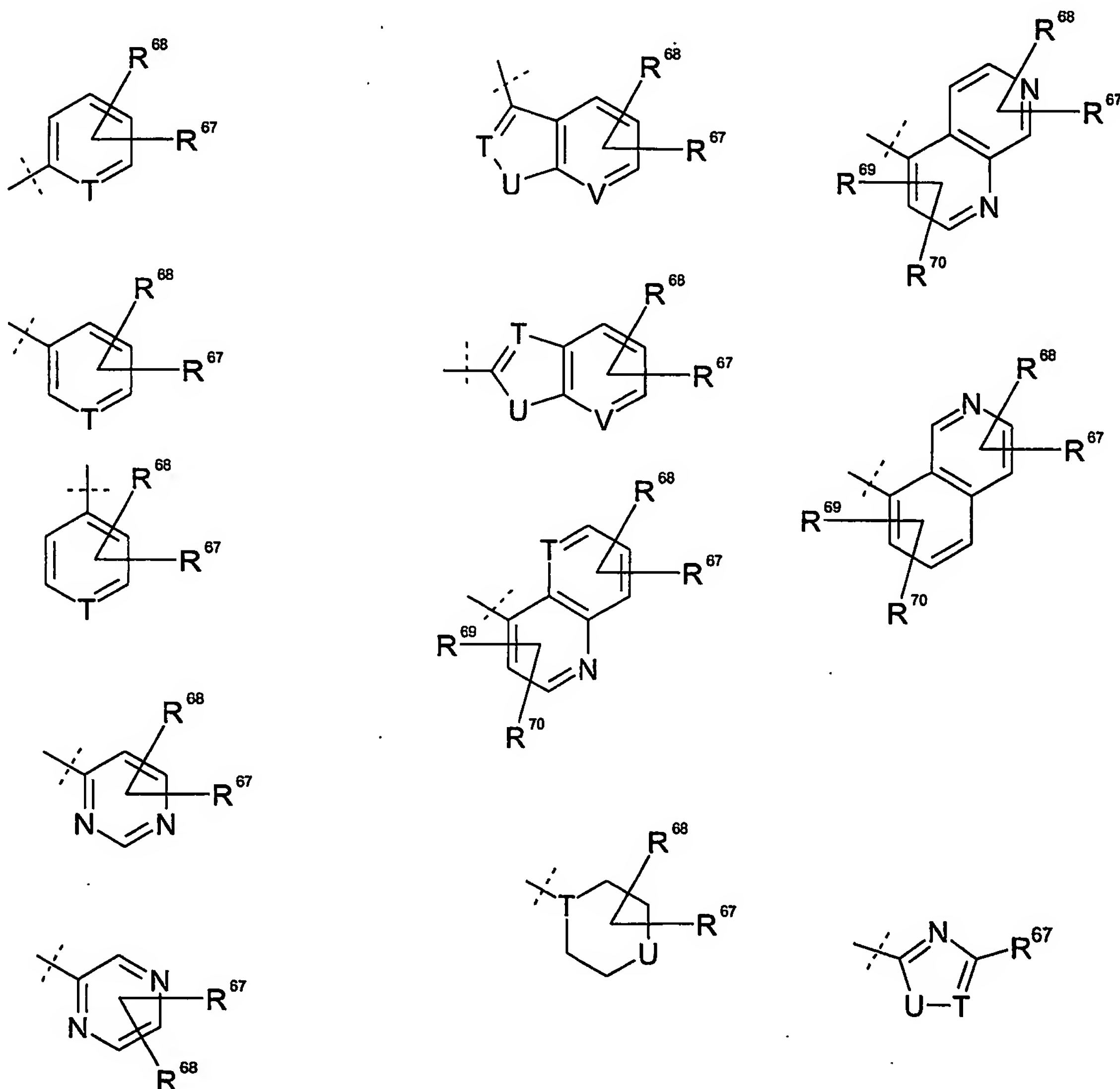
10. A compound according to any one of the preceding claims, wherein Z is -  
C(R<sup>60</sup>R<sup>61</sup>)- or -C(R<sup>60</sup>R<sup>61</sup>)-C(R<sup>62</sup>R<sup>63</sup>)-, wherein  
R<sup>60</sup>, R<sup>61</sup>, R<sup>62</sup>, R<sup>63</sup> are independently hydrogen, -C(O)NH<sub>2</sub>, -COOH, -CH<sub>2</sub>-COOH,  
-CH<sub>2</sub>-C(O)NH<sub>2</sub>, fluoro, methyl, cyclopropyl or  
R<sup>60</sup> and R<sup>61</sup> form a cyclopropyl ring or  
R<sup>62</sup> and R<sup>63</sup> form a cyclopropyl ring or  
R<sup>60</sup> and R<sup>62</sup> form a cyclopropyl or cyclobutyl ring.
11. A compound according to claim 10, wherein R<sup>60</sup>, R<sup>61</sup>, R<sup>62</sup>, R<sup>63</sup> are independently  
hydrogen, fluoro or -C(O)NH<sub>2</sub>.
12. A compound according to any one of the preceding claims, wherein X is =N-.
13. A compound according to any of the preceding claims, wherein G is  
-CH(R<sup>64</sup>)-C(R<sup>65</sup>R<sup>66</sup>)-; wherein R<sup>64</sup>, R<sup>65</sup>, R<sup>66</sup> are independently hydrogen, F, methyl,  
-CH<sub>2</sub>F, -CHF<sub>2</sub>, CF<sub>3</sub> or cyclopropyl or R<sup>65</sup>, R<sup>66</sup> form together cyclopropyl.
14. a compound according to any one of the preceding claims, wherein G is -CH<sub>2</sub>-CH<sub>2</sub>-.
15. A compound according to any one of the preceding claims, wherein D is -CH<sub>2</sub>-, -  
CF<sub>2</sub>-, -CH(CH<sub>3</sub>)-, -C(CH<sub>3</sub>)<sub>2</sub>- or D<sup>1</sup>-D<sup>2</sup>, where D<sup>1</sup> and D<sup>2</sup> are independently -CH<sub>2</sub>-, -  
CF<sub>2</sub>-, -CH(CH<sub>3</sub>)- or -C(CH<sub>3</sub>)<sub>2</sub>- and wherein D<sup>2</sup> is optionally -CH<sub>2</sub>-NH-.
16. A compound according to claim 15, wherein D is -CH<sub>2</sub>-, -CH(CH<sub>3</sub>)-, -CH<sub>2</sub>-CH<sub>2</sub>-, -  
CH<sub>2</sub>-CF<sub>2</sub> or -CH<sub>2</sub>-CH<sub>2</sub>-NH-.
17. A compound according to any one of the preceding claims, wherein -E is selected  
from the group consisting of phenyl; heterocycle containing up to three  
heteroatoms, which are the same or different and selected from the group  
consisting of -O-, -N=, -N(O)- and -NH-; and heterobicycle containing up to three  
heteroatoms, which are the same or different and selected from the group  
consisting of -O-, -N=, and -NH-; and wherein E is optionally substituted with up to  
two substituents which are the same or different and selected from the group  
consisting of CN, F, Cl, C<sub>1-4</sub> alkyl, OH, O-C<sub>1-4</sub> alkyl, NH<sub>2</sub>, NH-C<sub>1-4</sub> alkyl, N(C<sub>1-4</sub>  
alkyl)<sub>2</sub>, C(O)NH<sub>2</sub>, C(O)NH-C<sub>1-4</sub> alkyl, and C(O)N(C<sub>1-4</sub> alkyl)<sub>2</sub>, wherein each C<sub>1-4</sub> alkyl



is optionally substituted with one or more substituents independently selected from OH and F.

18. A compound according to claim 17, wherein -E is phenyl, pyridine, benzimidazole, indazole, quinoline, isoquinoline, pyridine-(N)-oxide, benzothiophene, indole, azaindole, benzofuran, benzisoxazole, benzoxazole, benzothiazole.

19. A compound according to any one of the preceding claims, wherein -E is selected from the group consisting of



wherein

T and V are independently =CH-, =CR<sup>71</sup>-, =N- or =N(O)-;

U is -NH-, -NR<sup>72</sup>-, -O-, or -S-, wherein

R<sup>67</sup>, R<sup>68</sup>, R<sup>69</sup>, R<sup>70</sup>, R<sup>71</sup> are independently selected from the group consisting of

hydrogen;

C<sub>3-6</sub> cycloalkyl;

E<sup>6</sup>;

E<sup>7</sup>;

halogen;

-N(R<sup>73</sup>R<sup>74</sup>);

-OH; and

-COOR<sup>75</sup> or -C(O)NR<sup>76</sup>R<sup>77</sup>;

and wherein R<sup>72</sup>, R<sup>73</sup>, R<sup>74</sup>, R<sup>75</sup>, R<sup>76</sup>, R<sup>77</sup> are independently

hydrogen;

C<sub>1-4</sub> alkyl; or

-C(O)-C<sub>1-4</sub> alkyl;

E<sup>6</sup> is selected from the group consisting of C<sub>1-6</sub> alkyl; -O-C<sub>1-6</sub> alkyl; and -N(R<sup>78</sup>)-C<sub>1-6</sub> alkyl, wherein the C<sub>1-6</sub> alkyl group is optionally substituted with one or more of

halogen;

-N(R<sup>79</sup>R<sup>80</sup>);

phenyl, optionally substituted with chloro;

heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=, -N(O)= and -N(R<sup>81</sup>)-, optionally substituted with chloro;

and/or E<sup>6</sup> is optionally interrupted by one or more of oxygen;

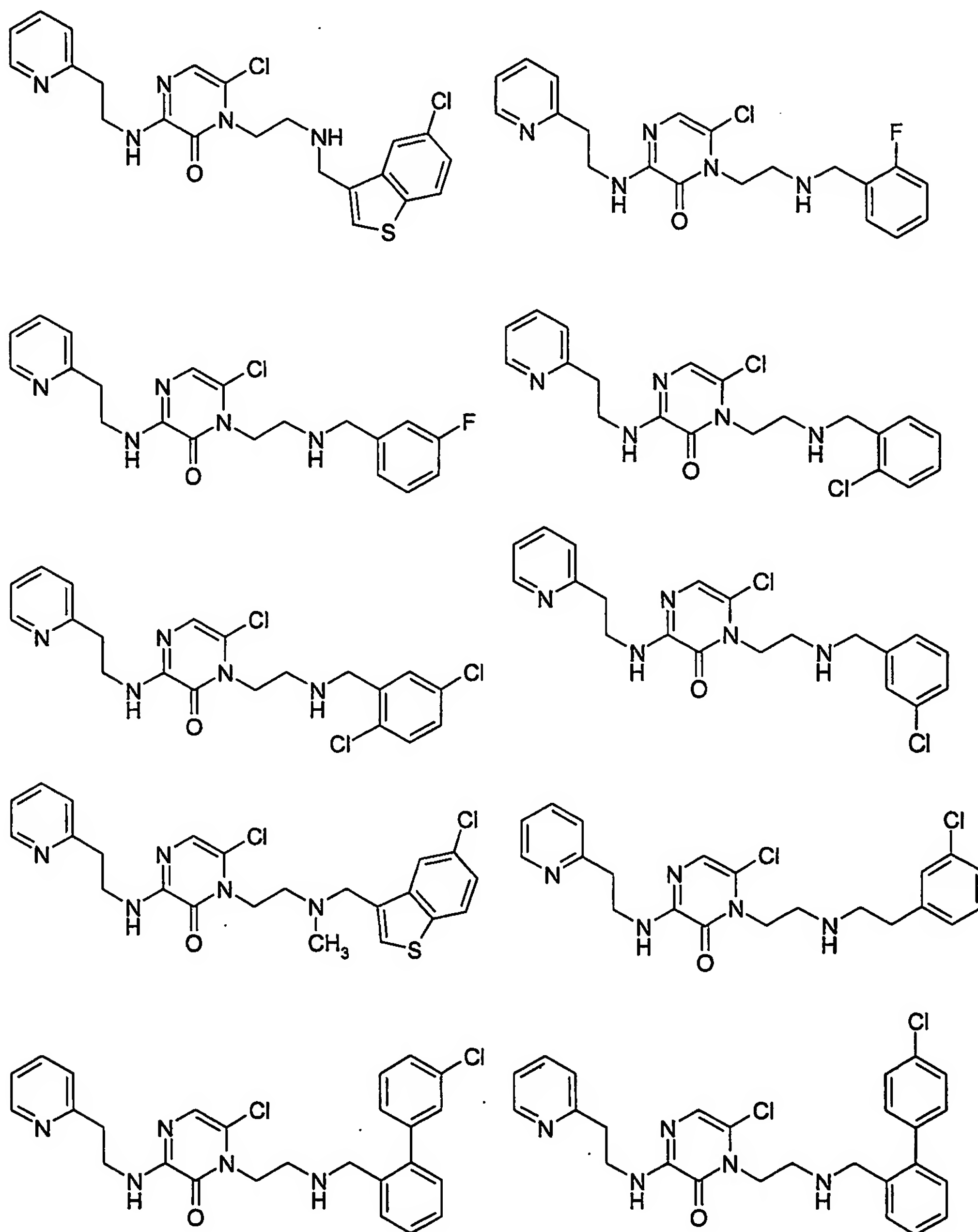
and wherein R<sup>78</sup>, R<sup>79</sup>, R<sup>80</sup>, R<sup>81</sup> are independently hydrogen, C<sub>1-4</sub>alkyl;

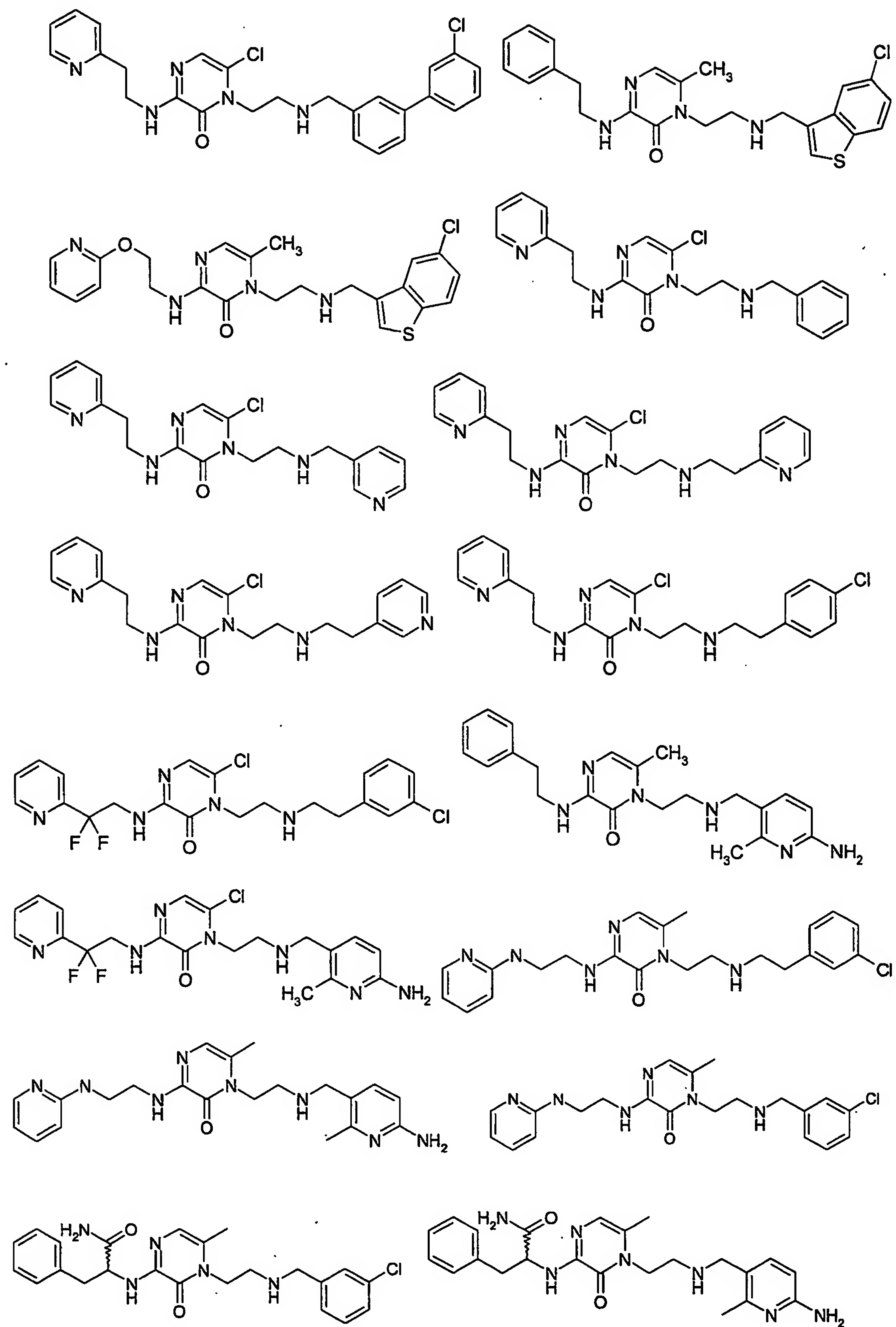
E<sup>7</sup> is selected from the group consisting of E<sup>8</sup>; -O-E<sup>8</sup>; -N(R<sup>82</sup>)-E<sup>8</sup>; and -C(O)-E<sup>8</sup>, wherein E<sup>8</sup> is phenyl or heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=, -N(O)= and -N(R<sup>83</sup>)-; and wherein E<sup>8</sup> is optionally substituted with chloro or -N(R<sup>84</sup>R<sup>85</sup>);

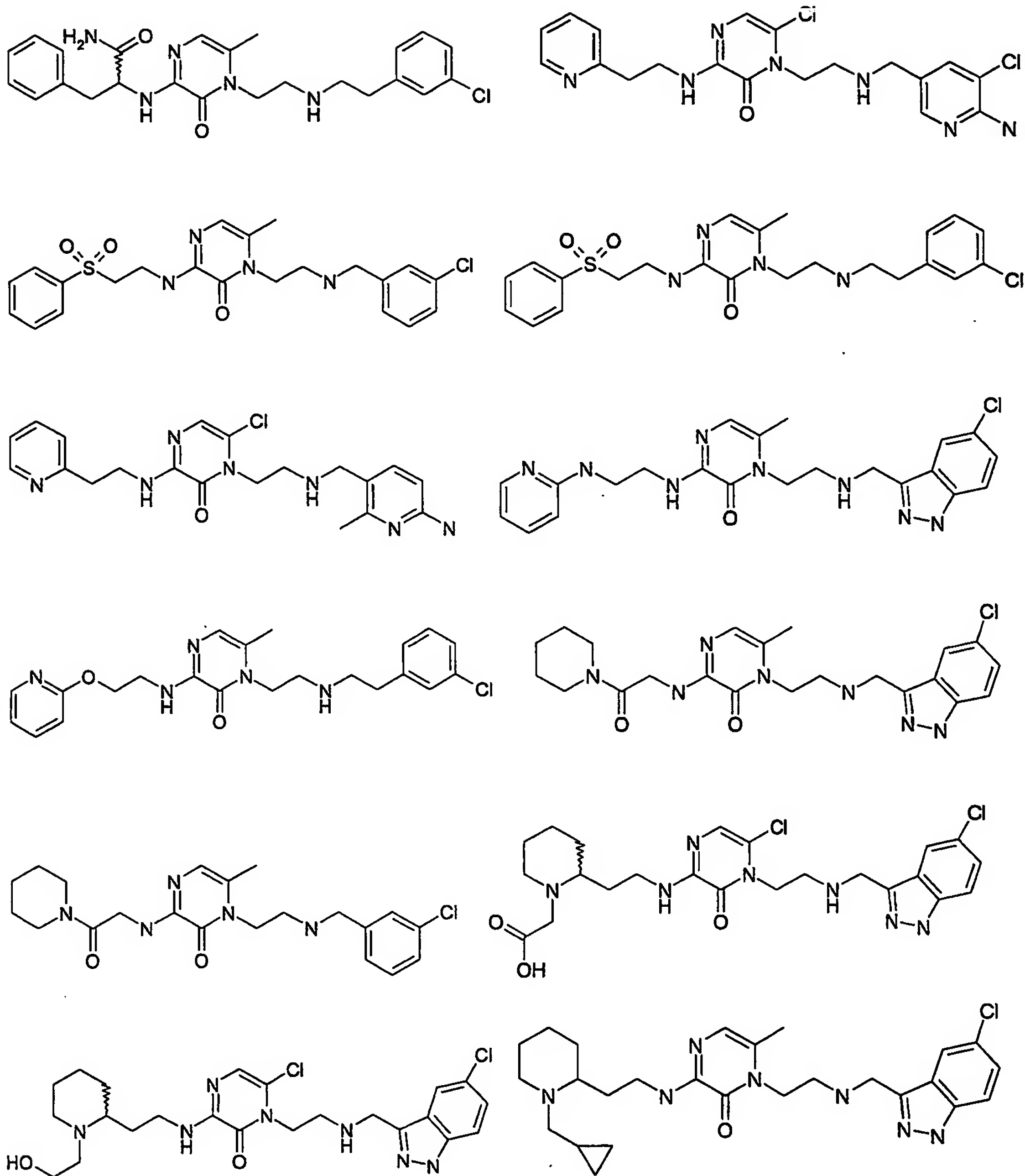
and wherein R<sup>82</sup>, R<sup>83</sup>, R<sup>84</sup>, R<sup>85</sup> are independently hydrogen or C<sub>1-4</sub> alkyl.

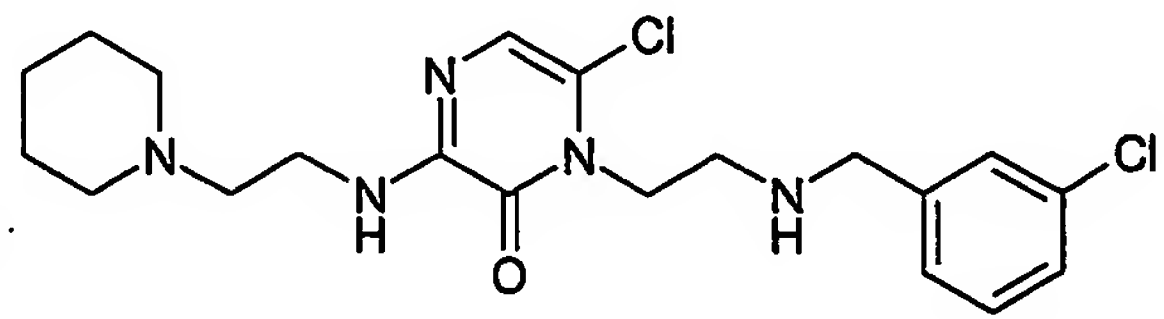
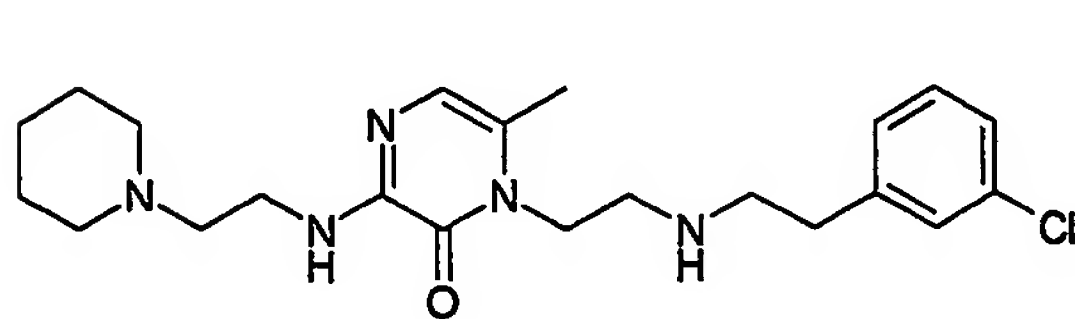
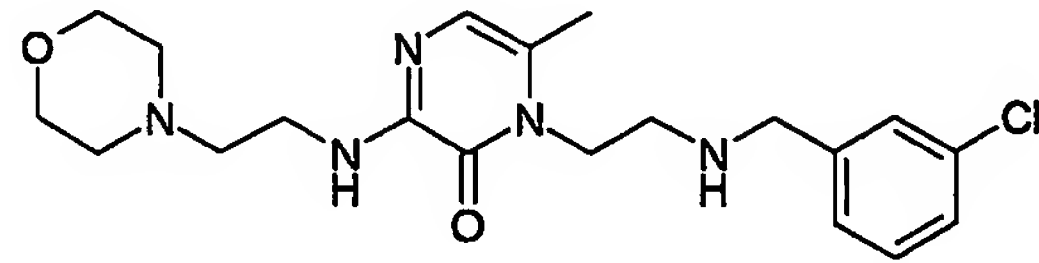
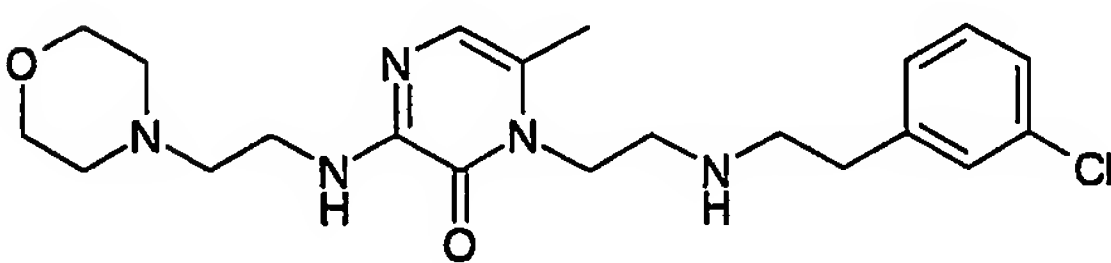
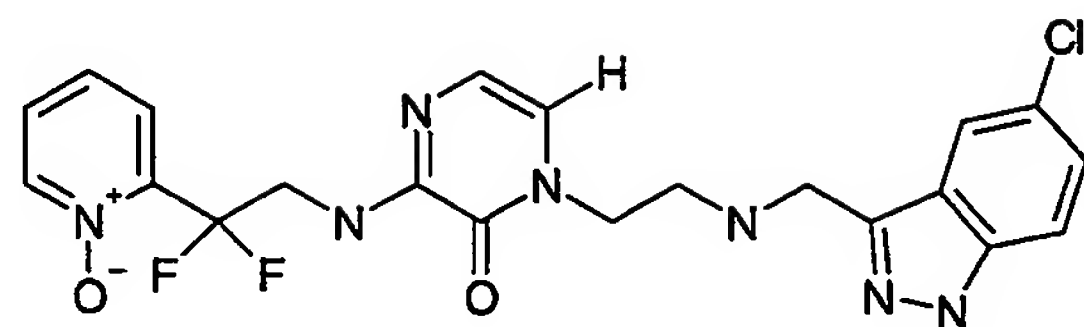
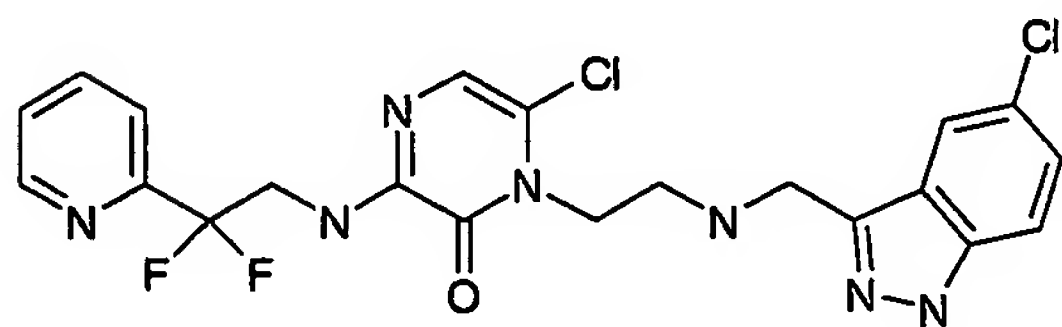
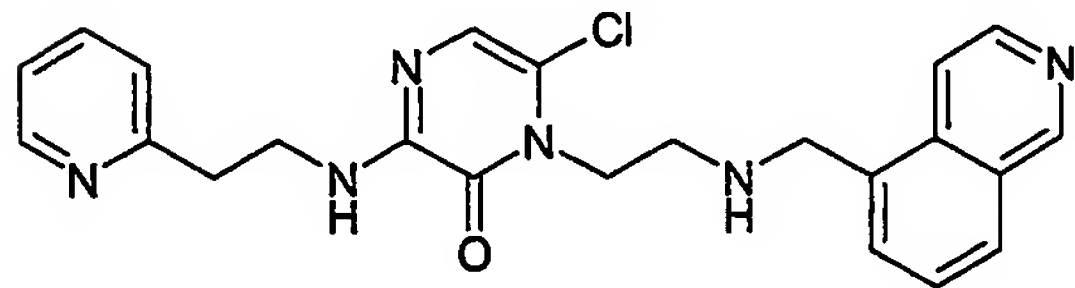
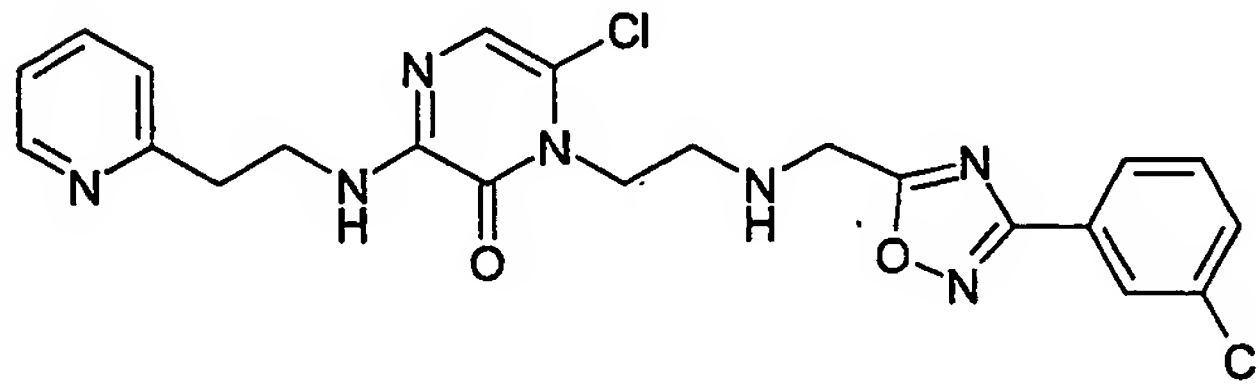
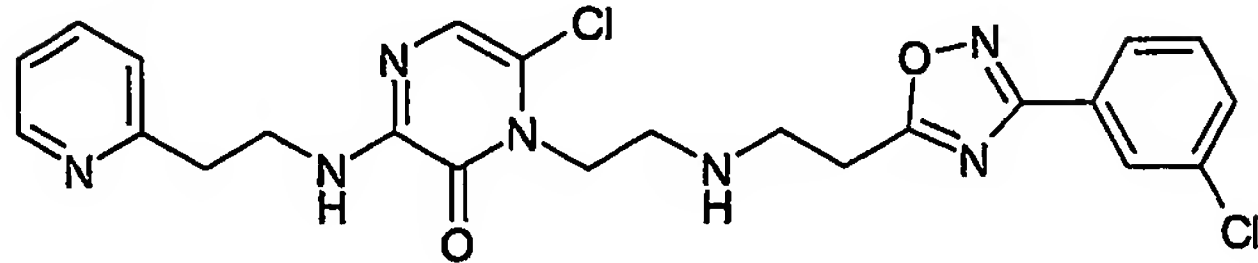
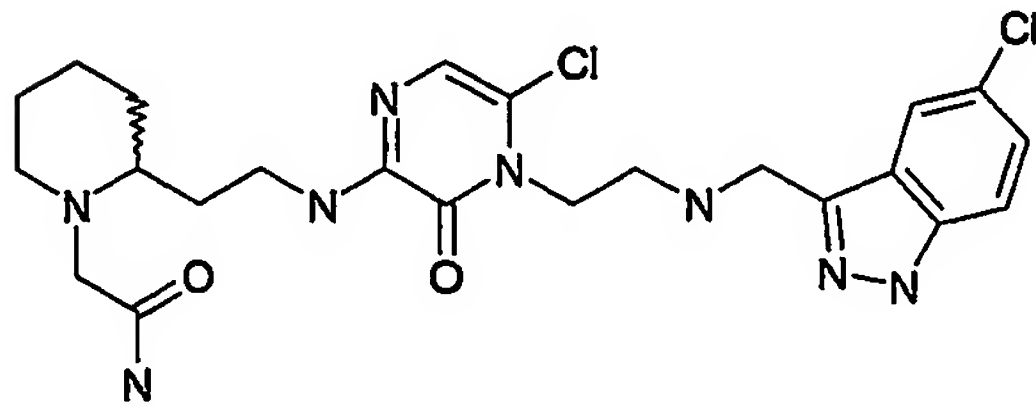
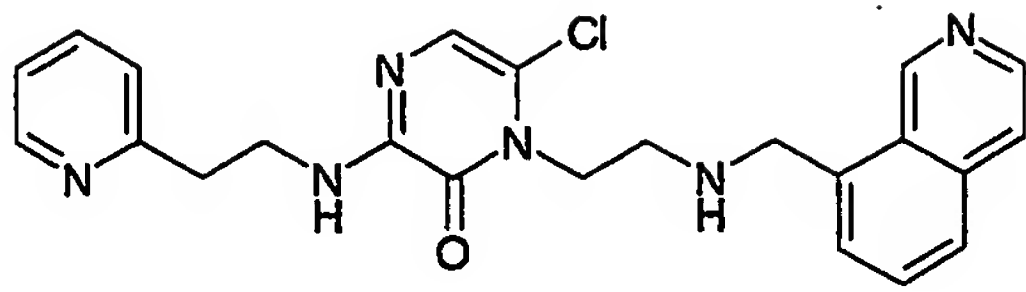
20. A compound according to claim 19, wherein  $R^{67}$ ,  $R^{68}$ ,  $R^{69}$ ,  $R^{70}$ ,  $R^{71}$  are independently selected from the group consisting of hydrogen, fluoro, chloro, cyano, phenyl, chlorophenyl, methyl, methoxy, amino, monomethyl amino, dimethyl amino, pyrrolyl, diazoly, triazolyl, and tetrazolyl.

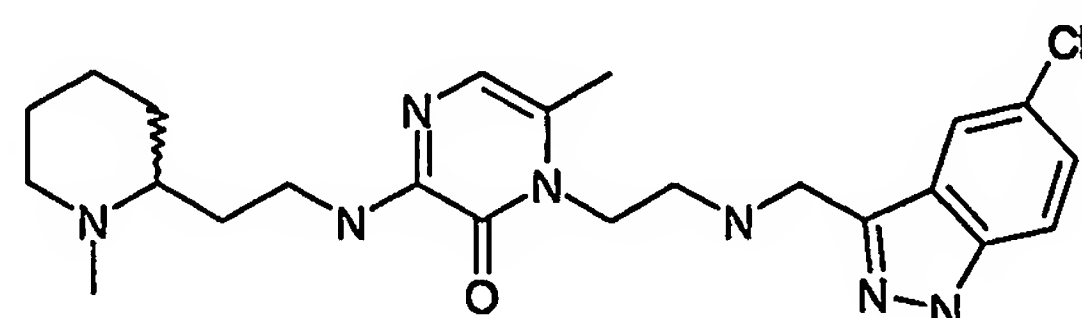
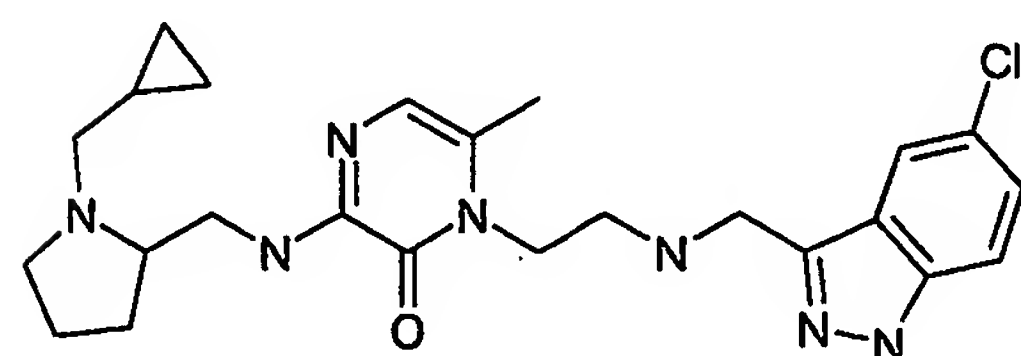
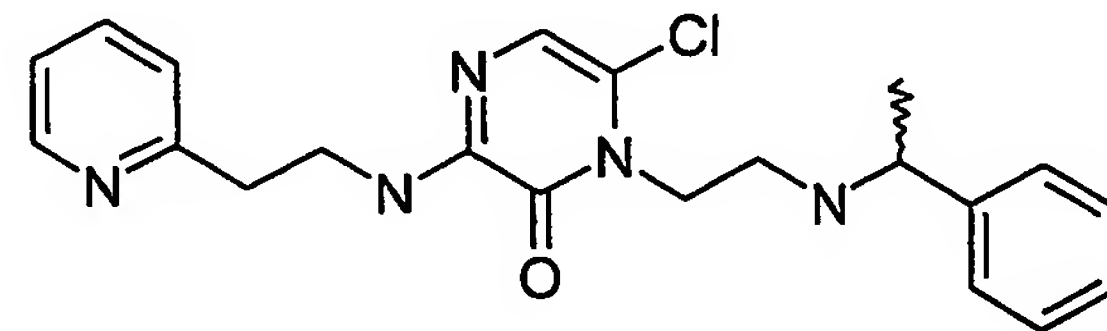
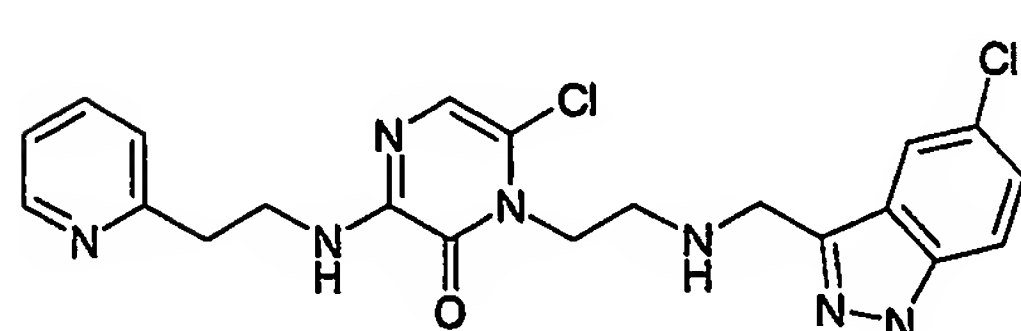
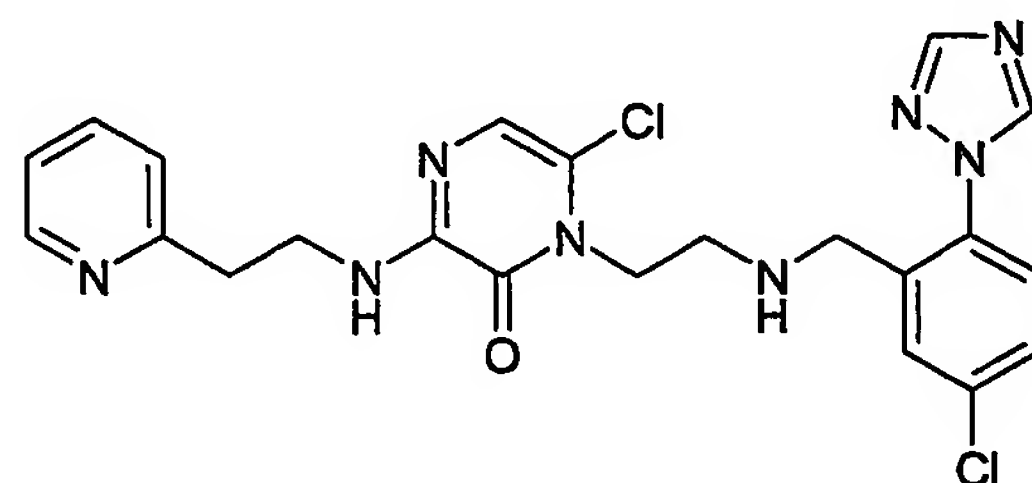
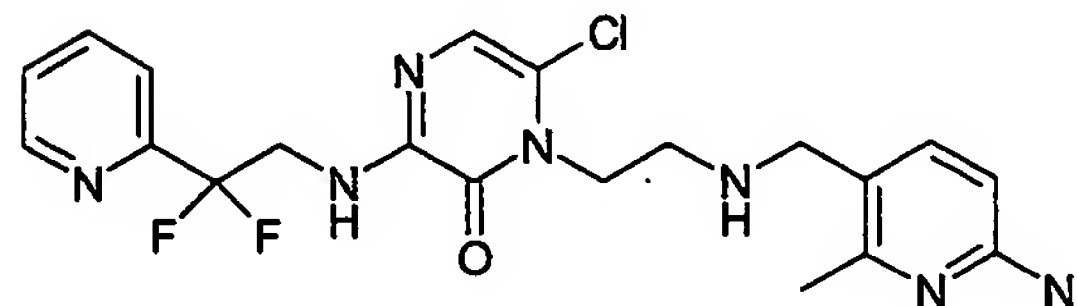
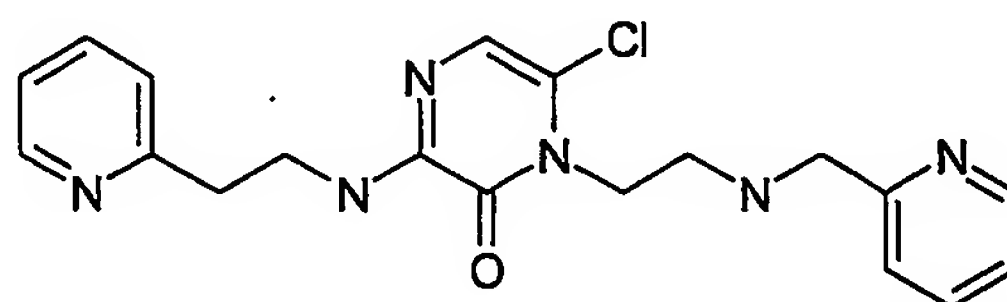
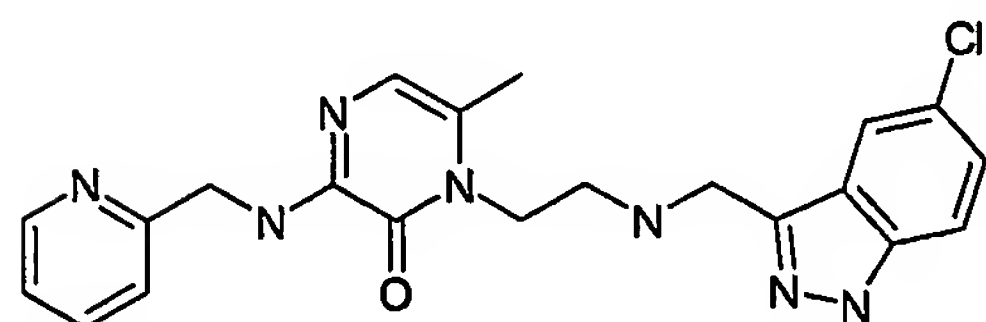
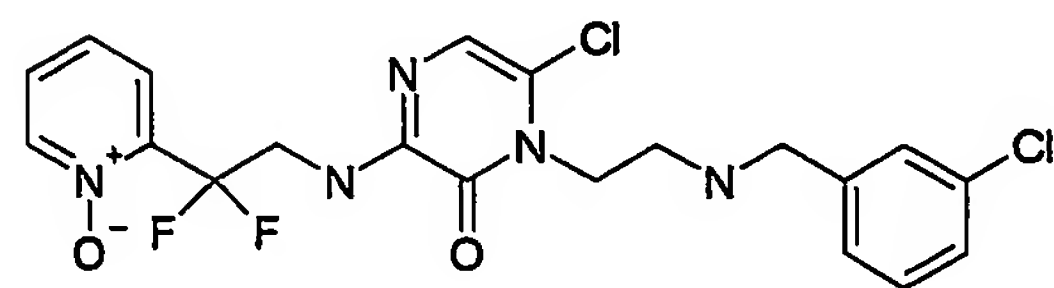
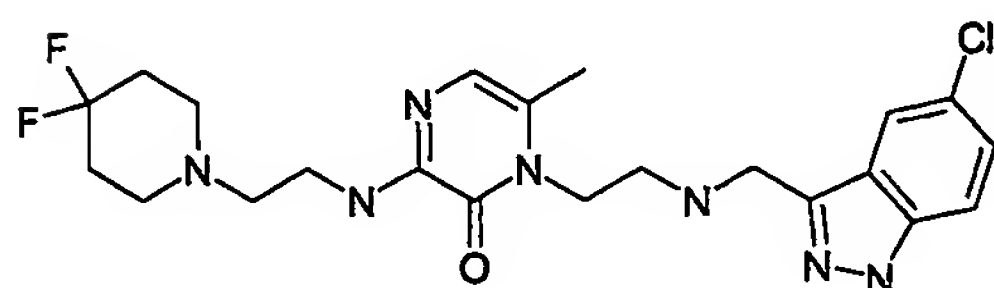
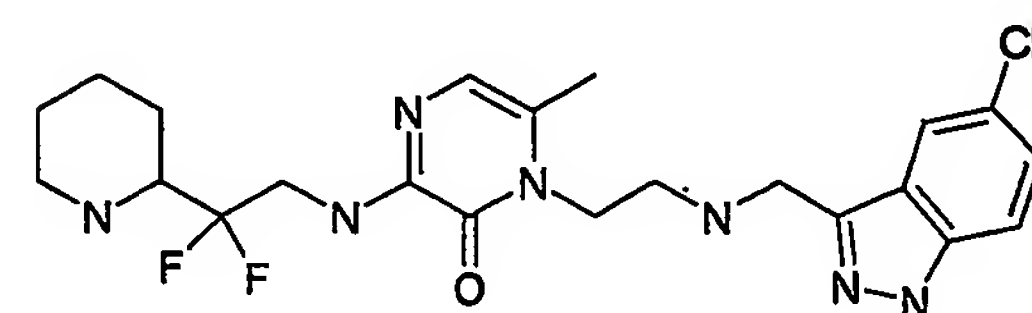
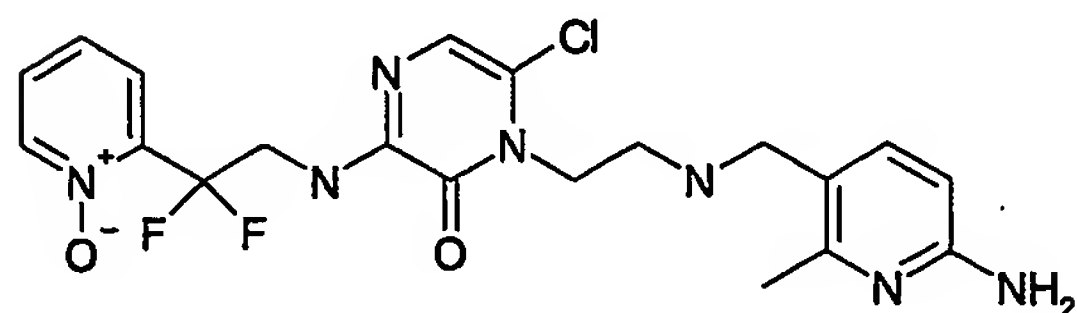
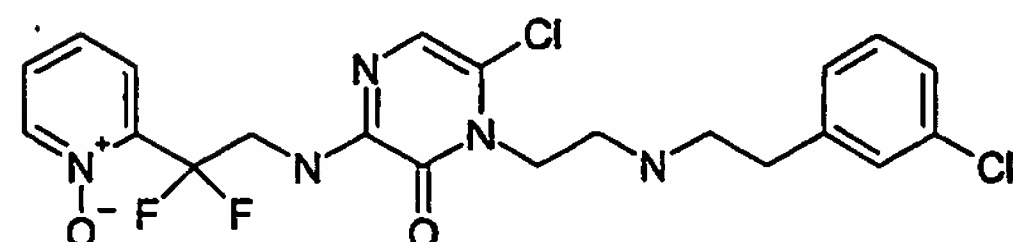
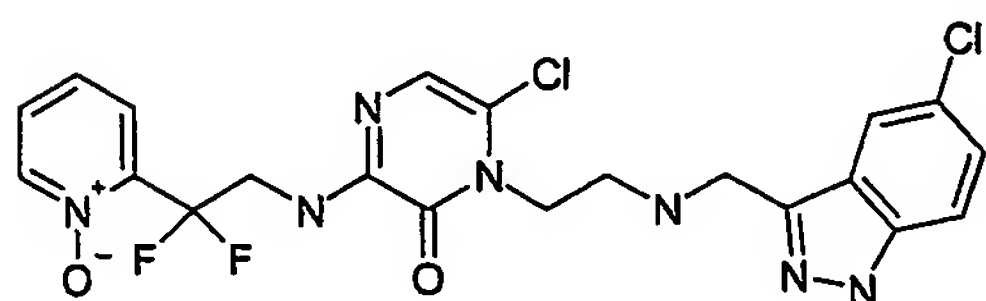
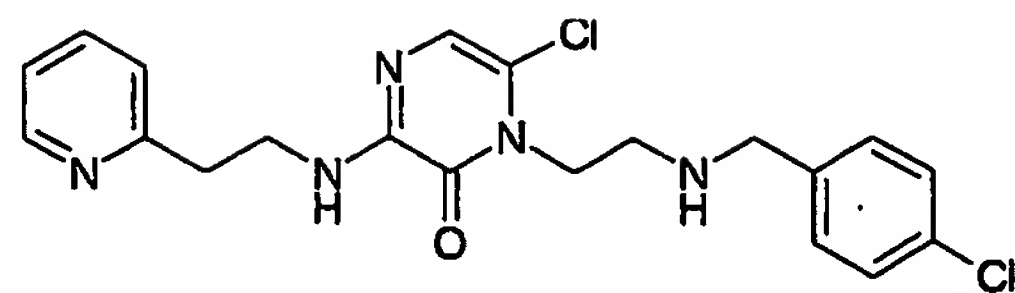
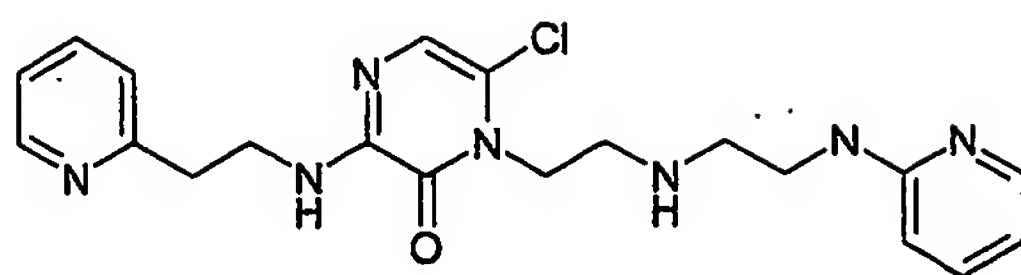
21. A compound according to claim 1 selected from the group consisting of:

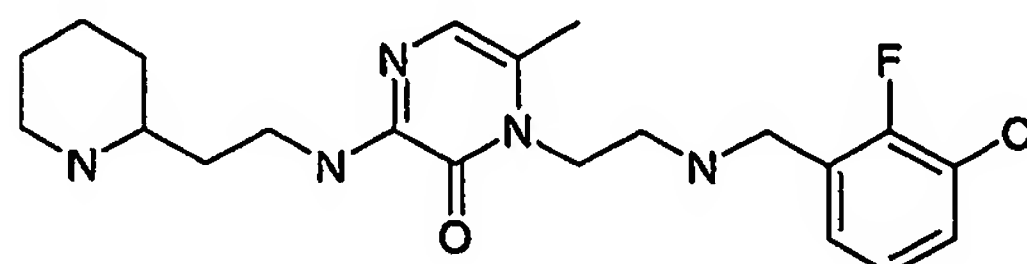
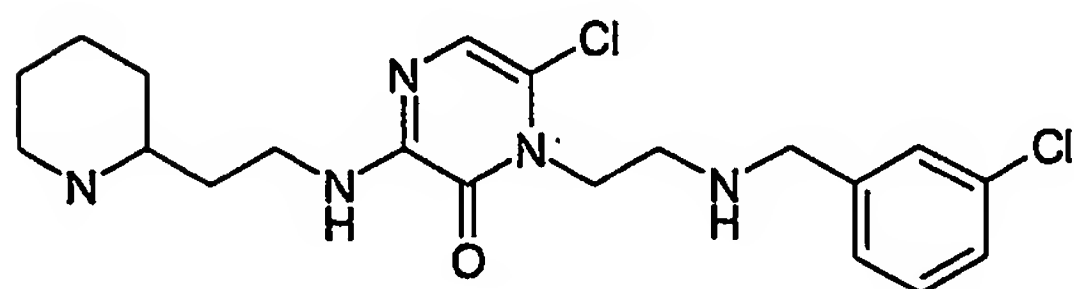
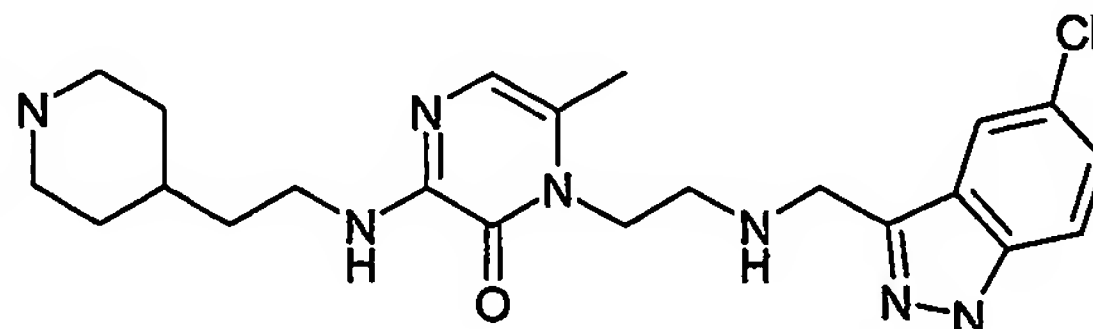
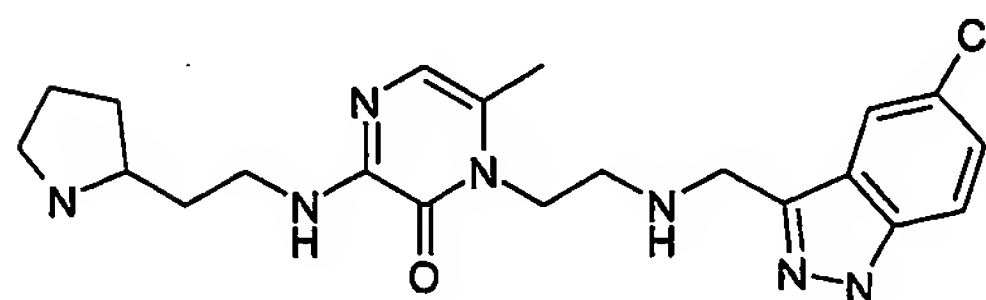
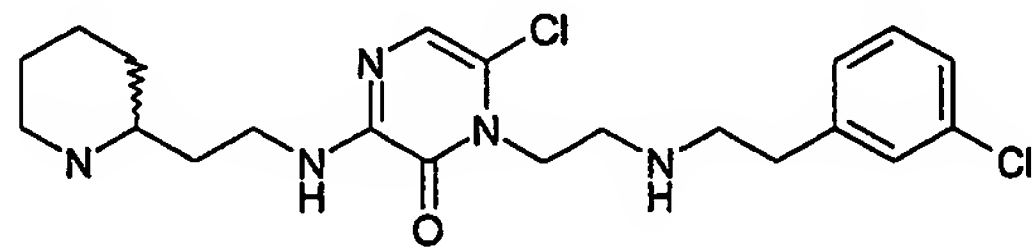
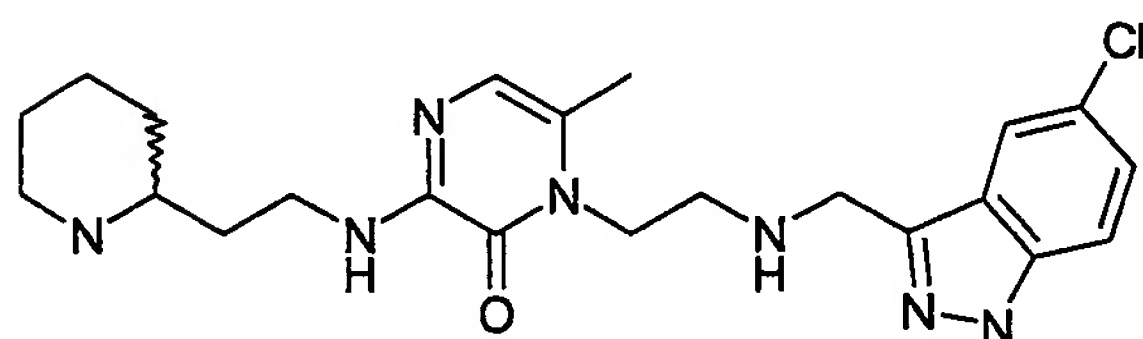
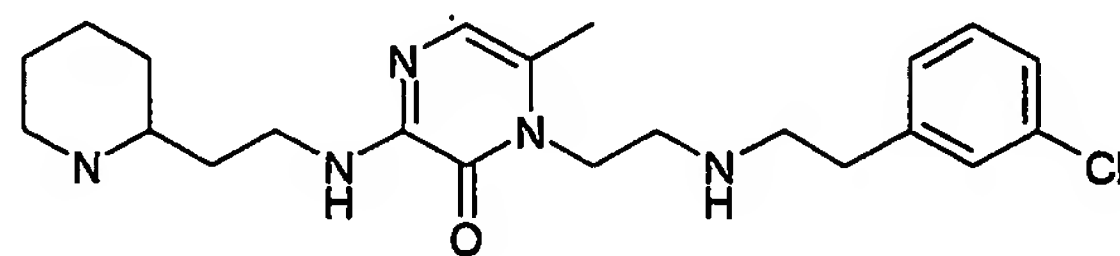
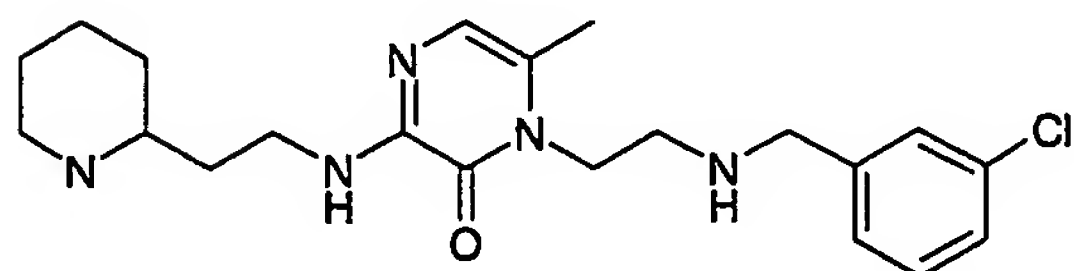
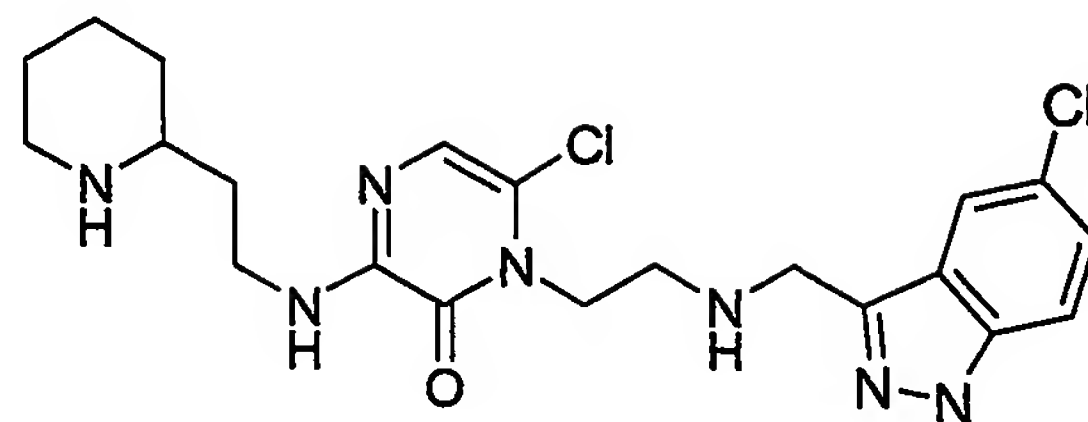
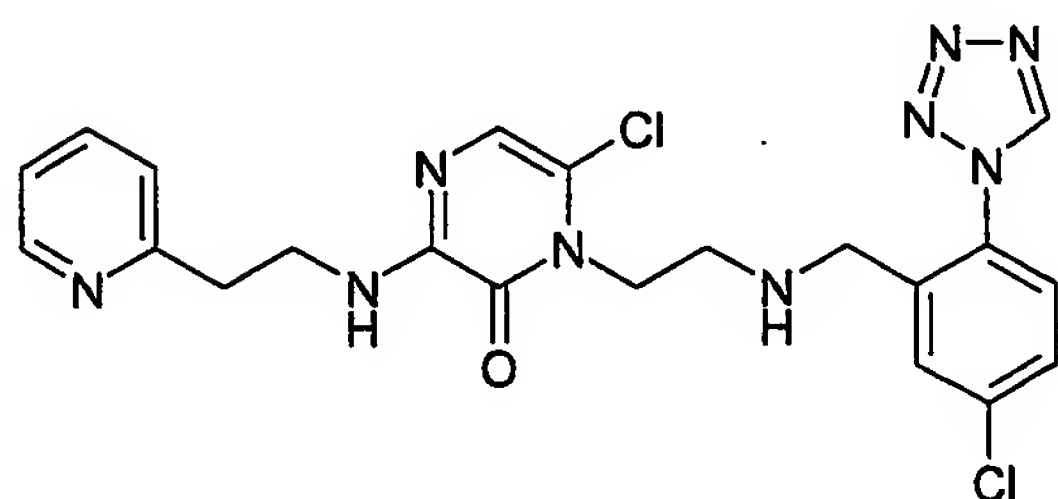
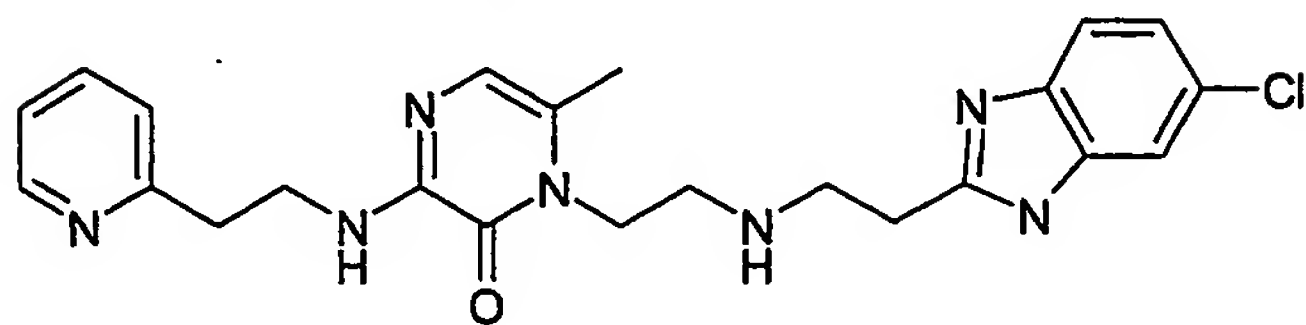
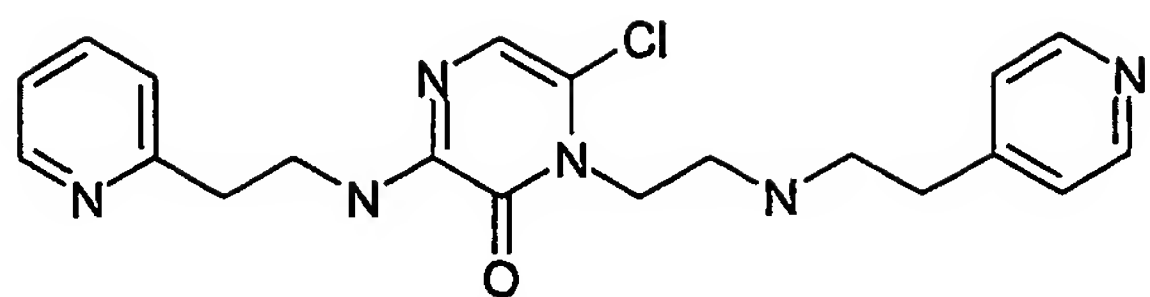




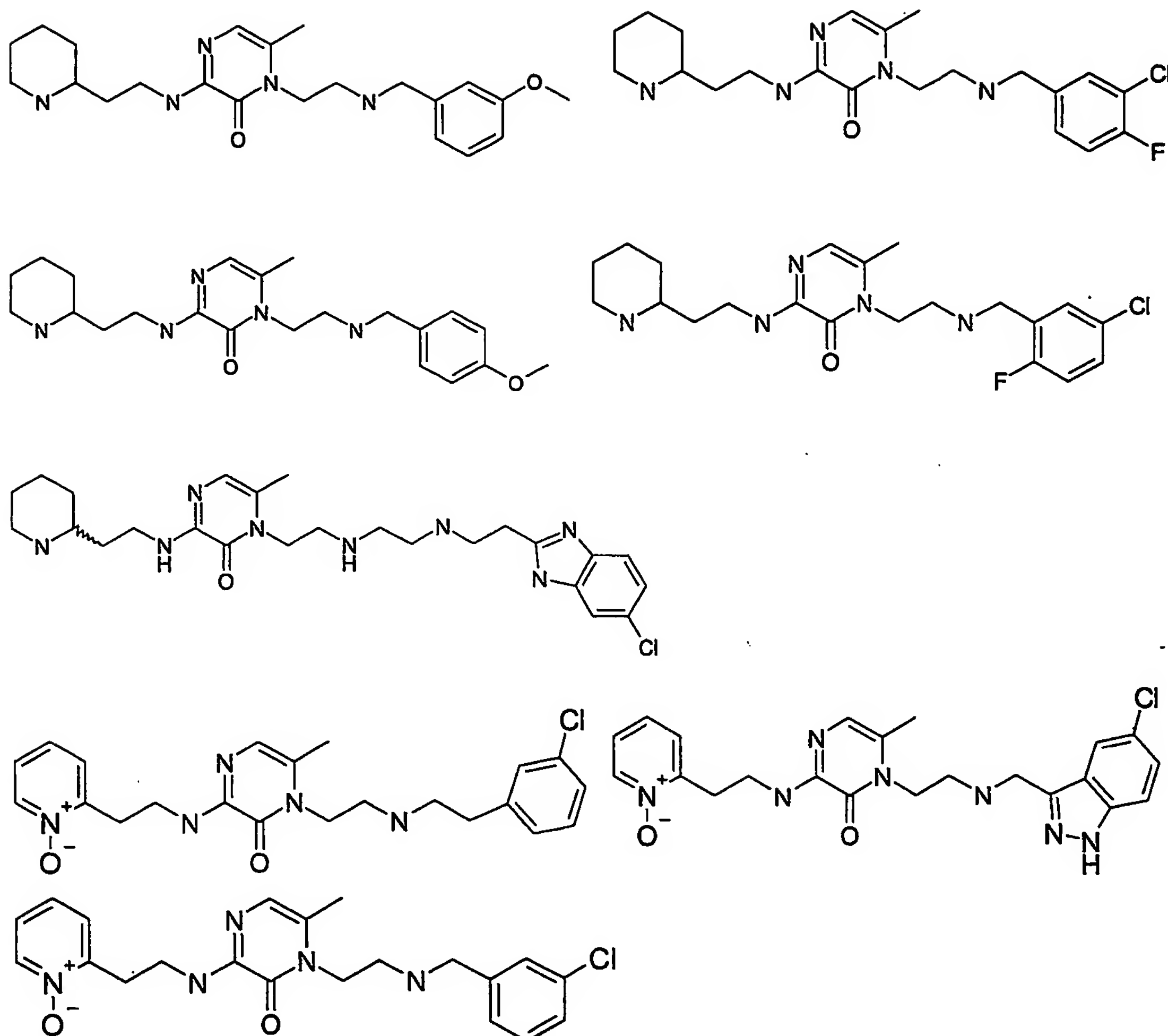












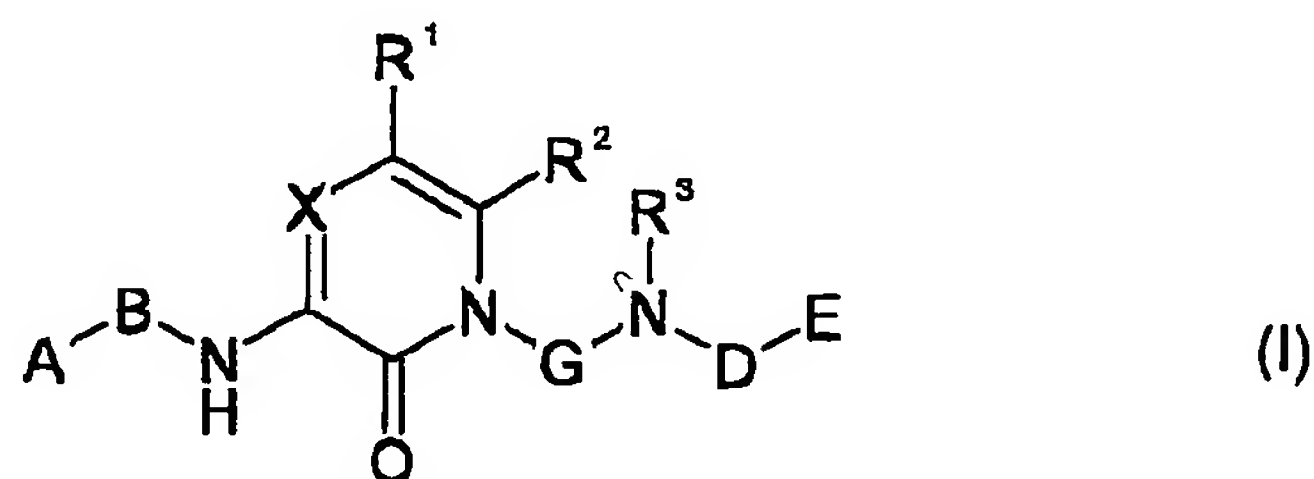
22. A prodrug of a compound according to any one of the claims 1 to 21.
23. A pharmaceutical composition comprising a compound or a mixture of compounds or a pharmaceutically acceptable salt thereof according to any one of the claims 1 to 21 together with a pharmaceutically acceptable carrier.
24. A pharmaceutical composition comprising a prodrug according to claim 22 or a mixture of prodrugs or prodrugs and compounds according to any one of the claims 1 to 21 or a pharmaceutically acceptable salt together with a pharmaceutically acceptable carrier.
25. A pharmaceutical composition according to claim 23 or 24, additionally comprising one or more known anticoagulants.

26. A compound or a pharmaceutically acceptable salt of any one of the claims 1 to 21 for use as a medicament.
27. A prodrug or a pharmaceutically acceptable salt of claim 22 for use as a medicament.
28. Use of a compound or a pharmaceutically acceptable salt of any of the claims 1 to 21 for the manufacture of a medicament for the treatment or prophylaxis of thromboembolism, thrombosis, arteriosclerosis, unstable angina, refractory angina, myocardial infarction, transient ischemic attacks, atrial fibrillation, thrombotic stroke, embolic stroke, deep vein thrombosis, disseminated intravascular coagulation, ocular build up of fibrin, and reocclusion or restenosis of recanalized vessels.
29. Use of a prodrug or a pharmaceutically acceptable salt of claim 22 for the manufacture of a medicament for the treatment or prophylaxis of thromboembolism, thrombosis, arteriosclerosis, unstable angina, refractory angina, myocardial infarction, transient ischemic attacks, atrial fibrillation, thrombotic stroke, embolic stroke, deep vein thrombosis, disseminated intravascular coagulation, ocular build up of fibrin, and reocclusion or restenosis of recanalized vessels.
30. Use of a compound according to any one of the claims 1 to 21 or a prodrug according to claim 22 as an anticoagulant or thrombin inhibitor.

**AMENDED CLAIMS**

[received by the International Bureau on 16 March 2005 (16.03.05);  
original claims 1-30 replaced by amended claims 1-32]

1. A compound of Formula (I)



or a pharmaceutically acceptable salt thereof, wherein:

R<sup>1</sup> is hydrogen;  
CN;  
halogen; or  
C<sub>1-4</sub> alkyl, optionally substituted with one or more fluoro;

R<sup>2</sup> is hydrogen;  
CN;  
halogen; or  
C<sub>1-6</sub> alkyl substituted with one or more fluoro;

R<sup>3</sup> is hydrogen;  
C<sub>1-4</sub> alkyl; or  
C<sub>3-6</sub> cycloalkyl;

A is A<sup>1</sup>, wherein A<sup>1</sup> is selected from the group consisting of:  
phenyl;  
naphthyl;  
heterocycle containing up to 4 heteroatoms, which are the same or different and

selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=, -N(O)= and -N(R<sup>4</sup>)-; and

heterobicycles containing up to 6 heteroatoms, which are the same or different and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=, -N(O)= and -N(R<sup>4</sup>)-;

wherein A<sup>1</sup> is optionally substituted with one or independently from each other more of

A<sup>2</sup>;

A<sup>3</sup>;

halogen;

CN;

-N(R<sup>5</sup>R<sup>6</sup>);

-OH;

=O, where the ring is at least partially saturated;

C<sub>3-8</sub> cycloalkyl;

-COOR<sup>7</sup>; or

-CONR<sup>8</sup>R<sup>9</sup>;

-S(O)<sub>2</sub>NR<sup>8a</sup>R<sup>9a</sup>

and wherein R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup> are independently selected from the group consisting of R<sup>7a</sup>, -C(O)-R<sup>7a</sup>, -C(O)O-R<sup>7a</sup>, -C(O)NR<sup>7a</sup>R<sup>7b</sup>, -S(O)<sub>2</sub>NR<sup>7a</sup>R<sup>7b</sup>, and S(O)<sub>2</sub>-R<sup>7a</sup>;

and wherein R<sup>7</sup>, R<sup>7a</sup>, R<sup>7b</sup>, R<sup>8</sup>, R<sup>8a</sup>, R<sup>9</sup>, R<sup>9a</sup> are independently hydrogen or C<sub>1-4</sub> alkyl, wherein each C<sub>1-4</sub> alkyl is optionally substituted with one or more substituents independently selected from the group consisting of -COOH; -OH; -NH<sub>2</sub>; -NH-C<sub>1-4</sub> alkyl; -N(C<sub>1-4</sub> alkyl)<sub>2</sub>; and C<sub>3-6</sub> cycloalkyl;

Optionally R<sup>4</sup> is a bond to directly attach A to B;

A<sup>2</sup> is selected from the group consisting of A<sup>4</sup>, -O-A<sup>4</sup> and -N(R<sup>10</sup>)-A<sup>4</sup>,

wherein A<sup>4</sup> is phenyl or a heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=, -N(O)= and -N(R<sup>11</sup>)-; wherein A<sup>4</sup> is optionally substituted with one or independently from each other more of

fluoro;

chloro;

-N(R<sup>12</sup>R<sup>13</sup>)

C<sub>1-4</sub> alkyl or -O-C<sub>1-4</sub> alkyl, both optionally substituted with one or independently from each other more of fluoro or -N(R<sup>14</sup>R<sup>15</sup>);  
 and wherein R<sup>10</sup>, R<sup>12</sup>, R<sup>13</sup>, R<sup>14</sup>, R<sup>15</sup> are independently hydrogen or C<sub>1-4</sub> alkyl;  
 and wherein R<sup>11</sup> is selected from the group consisting of hydrogen, C<sub>1-4</sub> alkyl  
 and -C(O)-C<sub>1-4</sub> alkyl;

A<sup>3</sup> is selected from the group consisting of C<sub>1-6</sub> alkyl, -O-C<sub>1-6</sub> alkyl and -N(R<sup>16</sup>)-C<sub>1-6</sub> alkyl, wherein the C<sub>1-6</sub> alkyl group is optionally substituted with one or independently from each other more of

fluoro;

-N(R<sup>17</sup>R<sup>18</sup>);

A<sup>5</sup>;

and/or A<sup>3</sup> is optionally interrupted with one or more oxygen;

and wherein R<sup>16</sup>, R<sup>17</sup>, R<sup>18</sup> are independently hydrogen or C<sub>1-4</sub>alkyl;

A<sup>5</sup> is phenyl or a heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=, -N(O)= and -N(R<sup>19</sup>)-; wherein A<sup>5</sup> is optionally substituted with one or independently from each other more of

fluoro;

chloro;

-N(R<sup>20</sup>R<sup>21</sup>)

C<sub>1-4</sub> alkyl or -O-C<sub>1-4</sub> alkyl, both optionally substituted with one or independently from each other more of fluoro or -N(R<sup>22</sup>R<sup>23</sup>);

and wherein R<sup>19</sup> is selected from the group consisting of hydrogen, C<sub>1-4</sub> alkyl

and -C(O)-C<sub>1-4</sub> alkyl;

and wherein R<sup>20</sup>, R<sup>21</sup>, R<sup>22</sup>, R<sup>23</sup> are independently hydrogen or C<sub>1-4</sub> alkyl;

B is selected from the group consisting of -Y-Z-, -Y-Z-C(O)-; -Y-Z-O-C(O)-; -Y-Z-S(O)<sub>2</sub>-; and -Y-Z-NH-C(O)- wherein

Y is a bond, -O-, -S-, -N(R<sup>24</sup>)-, -N(R<sup>25</sup>)-C(O)-, -C(O)-N(R<sup>26</sup>)-, or -C(O)-;

Z is C<sub>1-6</sub> alkyl,

optionally interrupted with oxygen, sulfur or -N(R<sup>27</sup>)-

and/or optionally substituted with one or independently from each other more of

halogen;

CN;

C<sub>3-6</sub> cycloalkyl;

-COOR<sup>28</sup>;

-CON(R<sup>29</sup>R<sup>30</sup>)

and/or optionally one chain carbon forms part of a C<sub>3-6</sub> cycloalkyl;

and wherein R<sup>24</sup>, R<sup>25</sup>, R<sup>26</sup>, R<sup>27</sup>, R<sup>28</sup>, R<sup>29</sup>, R<sup>30</sup> are independently

hydrogen; or

C<sub>1-4</sub> alkyl, optionally substituted with -COOR<sup>31</sup> or -CON(R<sup>32</sup>R<sup>33</sup>)

wherein R<sup>31</sup>, R<sup>32</sup>, R<sup>33</sup> are independently hydrogen or

C<sub>1-4</sub> alkyl;

X is =C(R<sup>34</sup>)- or =N-, wherein R<sup>34</sup> is

hydrogen;

C<sub>1-6</sub> alkyl, optionally substituted with one or more fluoro; or

-S(O)<sub>2</sub>R<sup>35</sup>, wherein R<sup>35</sup> is selected from the group consisting of X<sup>1</sup>, C<sub>1-6</sub> alkyl,

and -C<sub>1-6</sub> alkyl-X<sup>1</sup>; wherein R<sup>35</sup> is optionally substituted with one or

independently from each other more of

fluoro;

chloro;

C<sub>1-4</sub> alkyl; or

-O-C<sub>1-4</sub> alkyl;

X<sup>1</sup> is phenyl or heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of -O-, -S-, -S(O)-, -S(O)<sub>2</sub>-, -N=, -N(O)= and -N(R<sup>36</sup>)-; and wherein R<sup>36</sup> is selected from the group consisting of hydrogen, C<sub>1-4</sub> alkyl and -C(O)-C<sub>1-4</sub> alkyl;

G is -CH(R<sup>37</sup>)-C(R<sup>38</sup>R<sup>39</sup>)-;

-CH(R<sup>37</sup>)-C(R<sup>38</sup>R<sup>39</sup>)-C(R<sup>40</sup>R<sup>41</sup>)-;

wherein R<sup>37</sup>, R<sup>38</sup>, R<sup>39</sup>, R<sup>40</sup>, R<sup>41</sup> are independently

hydrogen;

C<sub>1-4</sub> alkyl, optionally substituted with one or more fluoro;

C<sub>3-6</sub> cycloalkyl, optionally substituted with one or more fluoro;

or R<sup>38</sup> and R<sup>39</sup> or R<sup>40</sup> and R<sup>41</sup> form together C<sub>3-6</sub> cycloalkyl, optionally

substituted with one or more fluoro, -OH, C<sub>1-4</sub> alkyl;

or  $R^{37}$  and  $R^{38}$  or  $R^{38}$  and  $R^{40}$  form together  $C_{3-6}$  cycloalkyl, optionally substituted with one or more fluoro, -OH,  $C_{1-4}$  alkyl;

D is  $C_{1-6}$  alkyl,  
 optionally interrupted with oxygen, sulfur or  $-N(R^{42})-$   
 and/or optionally substituted with halogen, CN,  $C_{3-6}$  cycloalkyl;  
 and/or optionally one chain carbon or two vicinal carbons form part of a  $C_{3-6}$  cycloalkyl, wherein  $R^{42}$  is selected from the group consisting of hydrogen,  $C_{1-4}$  alkyl,  $C_{3-6}$  cycloalkyl and  $-C(O)-C_{1-4}$  alkyl;

E is  $E^1$ , wherein  $E^1$  is selected from the group consisting of  
 phenyl;  
 naphthyl;  
 heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=, -N(O)= and  $-N(R^{43})-$ ; and  
 heterobicycle containing up to 6 heteroatoms, which are the same or different and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=, -N(O)= and  $-N(R^{44})-$ ;  
 wherein  $E^1$  is optionally substituted with one or independently from each other more of

$E^2$ ;

$E^3$ ;

halogen;

CN;

$-N(R^{45}R^{46})$ ;

-OH;

=O, where the ring is at least partially saturated;

$C_{3-6}$  cycloalkyl;

$-COOR^{47}$ ; or

$-CONR^{48}R^{49}$ ;

$-S(O)_2NR^{48a}R^{49a}$ ;

and wherein  $R^{43}$ ,  $R^{44}$ ,  $R^{45}$ ,  $R^{46}$  are independently selected from the group consisting of  
 hydrogen;

$C_{1-4}$  alkyl optionally substituted with -OH;

and -C(O)-C<sub>1-4</sub> alkyl optionally substituted with -OH;  
 and wherein R<sup>47</sup>, R<sup>48</sup>, R<sup>48a</sup>, R<sup>49</sup>, R<sup>49a</sup> are independently hydrogen or C<sub>1-4</sub> alkyl,  
 optionally substituted with -OH;

E<sup>2</sup> is selected from the group consisting of E<sup>4</sup>, -C(O)-E<sup>4</sup>, -O-E<sup>4</sup> and -N(R<sup>50</sup>)-E<sup>4</sup>,  
 wherein E<sup>4</sup> is phenyl or heterocycle containing up to 4 heteroatoms, which are the  
 same or different and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-,  
 -N=, -N(O)= and -N(R<sup>51</sup>)-; wherein E<sup>4</sup> is optionally substituted with one or  
 independently from each other more of

fluoro;  
 chloro;  
 cyano;  
 =O, where the ring is at least partially saturated;  
 -N(R<sup>52</sup>R<sup>53</sup>);  
 C<sub>1-4</sub> alkyl; or  
 -O-C<sub>1-4</sub> alkyl;

and wherein R<sup>50</sup>, R<sup>52</sup>, R<sup>53</sup> are independently hydrogen or C<sub>1-4</sub> alkyl, optionally  
 substituted with -OH;

and wherein R<sup>51</sup> is selected from the group consisting of

hydrogen;  
 C<sub>1-4</sub> alkyl, optionally substituted with -OH; and  
 -C(O)-C<sub>1-4</sub> alkyl, optionally substituted with -OH;

E<sup>3</sup> is selected from the group consisting of C<sub>1-6</sub> alkyl, -O-C<sub>1-6</sub> alkyl;  
 -N(R<sup>54</sup>)-C<sub>1-6</sub> alkyl, wherein E<sup>3</sup> is optionally substituted with one or independently from each  
 other more of

fluoro;  
 -N(R<sup>55</sup>R<sup>56</sup>);  
 E<sup>5</sup>;

and/or E<sup>3</sup> is optionally interrupted with one or more oxygen;

and wherein R<sup>54</sup>, R<sup>55</sup>, R<sup>56</sup> are independently hydrogen or C<sub>1-4</sub>alkyl, optionally  
 substituted with -OH;



$E^5$  is phenyl or heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=, -N(O)= and -N(R<sup>57</sup>)-; wherein  $E^5$  is optionally substituted with one or independently from each other more of

fluoro;

chloro;

cyano;

=O, where the ring is at least partially saturated;

-N(R<sup>58</sup>R<sup>59</sup>);

C<sub>1-4</sub> alkyl or

-O-C<sub>1-4</sub> alkyl;

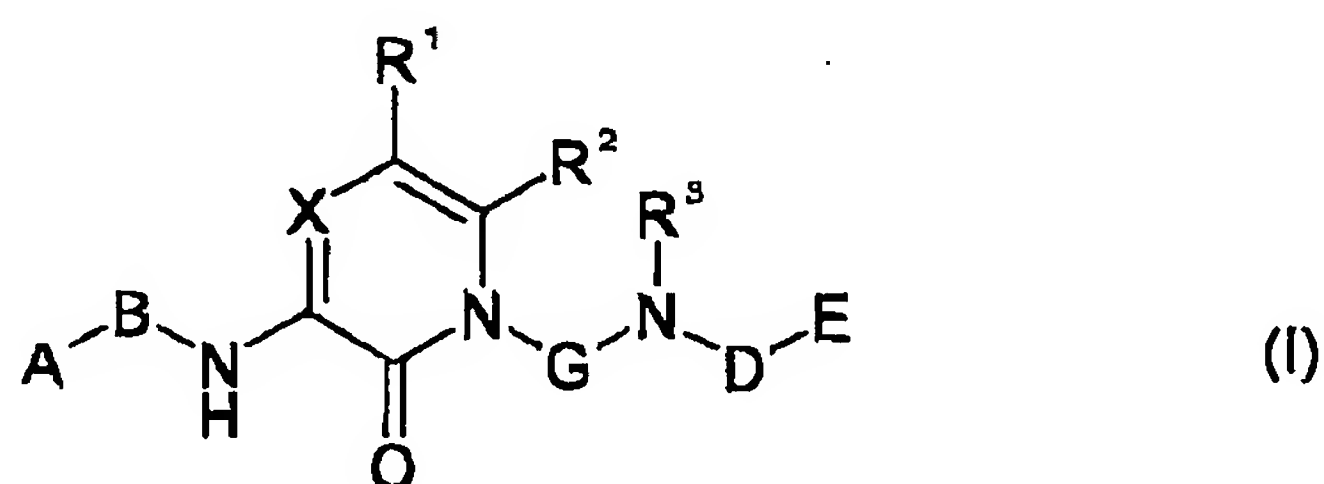
and wherein R<sup>57</sup> is independently selected from the group consisting of hydrogen;

C<sub>1-4</sub> alkyl, optionally substituted with -OH; and

-C(O)-C<sub>1-4</sub> alkyl, optionally substituted with -OH;

and wherein R<sup>58</sup>, R<sup>59</sup> are independently hydrogen or C<sub>1-4</sub> alkyl, optionally substituted with -OH.

2. A compound of Formula (I)



or a pharmaceutically acceptable salt thereof, wherein:

R<sup>1</sup> is hydrogen;

CN;

halogen; or

C<sub>1-4</sub> alkyl, optionally substituted with one or more fluoro;

R<sup>2</sup> is hydrogen;

halogen;

CN;

C<sub>1-6</sub> alkyl, optionally substituted with one or more fluoro;

C<sub>3-6</sub> cycloalkyl; or  
O-C<sub>1-4</sub> alkyl;

R<sup>3</sup> is hydrogen;  
C<sub>1-4</sub> alkyl; or  
C<sub>3-6</sub> cycloalkyl;

A is A<sup>1</sup>, wherein A<sup>1</sup> is selected from the group consisting of:  
phenyl;  
naphthyl;  
heterocycle containing up to 4 heteroatoms, which are the same or different and  
selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=, -N(O)= and -N(R<sup>4</sup>)-; and  
heterobicycles containing up to 6 heteroatoms, which are the same or different  
and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=, -N(O)= and -N(R<sup>4</sup>)-;  
wherein A<sup>1</sup> is optionally substituted with one or independently from each other  
more of  
A<sup>2</sup>;  
A<sup>3</sup>;  
halogen;  
CN;  
-N(R<sup>5</sup>R<sup>6</sup>);  
-OH;  
=O, where the ring is at least partially saturated;  
C<sub>3-6</sub> cycloalkyl;  
-COOR<sup>7</sup>; or  
-CONR<sup>8</sup>R<sup>9</sup>;  
-S(O)<sub>2</sub>NR<sup>8a</sup>R<sup>9a</sup>.

and wherein R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup> are independently selected from the group consisting of R<sup>7a</sup>,  
-C(O)-R<sup>7a</sup>, -C(O)O-R<sup>7a</sup>, -C(O)NR<sup>7a</sup>R<sup>7b</sup>, -S(O)<sub>2</sub>NR<sup>7a</sup>R<sup>7b</sup>, and S(O)<sub>2</sub>-R<sup>7a</sup>;

and wherein R<sup>7</sup>, R<sup>7a</sup>, R<sup>7b</sup>, R<sup>8</sup>, R<sup>8a</sup>, R<sup>9</sup>, R<sup>9a</sup> are independently hydrogen or C<sub>1-4</sub> alkyl,  
wherein each C<sub>1-4</sub> alkyl is optionally substituted with one or more substituents  
independently selected from the group consisting of -COOH; -OH; -NH<sub>2</sub>;  
-NH-C<sub>1-4</sub> alkyl; -N(C<sub>1-4</sub> alkyl)<sub>2</sub>; and C<sub>3-6</sub> cycloalkyl;

Optionally  $R^4$  is a bond to directly attach A to B;

$A^2$  is selected from the group consisting of  $A^4$ ,  $-O-A^4$  and  $-N(R^{10})-A^4$ ,

wherein  $A^4$  is phenyl or a heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of  $-O-$ ,  $-S-$ ,  $-S(O)-$ ,  $-S(O_2)-$ ,  $-N=$ ,  $-N(O)=$  and  $-N(R^{11})-$ ; wherein  $A^4$  is optionally substituted with one or independently from each other more of

fluoro;

chloro;

$-N(R^{12}R^{13})$

$C_{1-4}$  alkyl or  $-O-C_{1-4}$  alkyl, both optionally substituted with one or independently from each other more of fluoro or  $-N(R^{14}R^{15})$ ;

and wherein  $R^{10}$ ,  $R^{12}$ ,  $R^{13}$ ,  $R^{14}$ ,  $R^{15}$  are independently hydrogen or  $C_{1-4}$  alkyl;

and wherein  $R^{11}$  is selected from the group consisting of hydrogen,  $C_{1-4}$  alkyl and  $-C(O)-C_{1-4}$  alkyl;

$A^3$  is selected from the group consisting of  $C_{1-6}$  alkyl,  $-O-C_{1-6}$  alkyl and  $-N(R^{16})-C_{1-6}$  alkyl, wherein the  $C_{1-6}$  alkyl group is optionally substituted with one or independently from each other more of

fluoro;

$-N(R^{17}R^{18})$ ;

$A^5$ ;

and/or  $A^3$  is optionally interrupted with one or more oxygen;

and wherein  $R^{16}$ ,  $R^{17}$ ,  $R^{18}$  are independently hydrogen or  $C_{1-4}$  alkyl;

$A^5$  is phenyl or a heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of  $-O-$ ,  $-S-$ ,  $-S(O)-$ ,  $-S(O_2)-$ ,  $-N=$ ,  $-N(O)=$  and  $-N(R^{19})-$ ; wherein  $A^5$  is optionally substituted with one or independently from each other more of

fluoro;

chloro;

$-N(R^{20}R^{21})$ ;

$C_{1-4}$  alkyl or  $-O-C_{1-4}$  alkyl, both optionally substituted with one or independently from each other more of fluoro or  $-N(R^{22}R^{23})$ ;

and wherein  $R^{19}$  is selected from the group consisting of hydrogen,  $C_{1-4}$  alkyl

and  $-\text{C}(\text{O})-\text{C}_{1-4}$  alkyl;

and wherein  $\text{R}^{20}$ ,  $\text{R}^{21}$ ,  $\text{R}^{22}$ ,  $\text{R}^{23}$  are independently hydrogen or  $\text{C}_{1-4}$  alkyl;

B is selected from the group consisting of  $-\text{Y}-\text{Z}-$ ;  $-\text{Y}-\text{Z}-\text{C}(\text{O})-$ ;  $-\text{Y}-\text{Z}-\text{O}-\text{C}(\text{O})-$ ;  $-\text{Y}-\text{Z}-\text{S}(\text{O})_2-$ ; and  $-\text{Y}-\text{Z}-\text{NH}-\text{C}(\text{O})-$  wherein

Y is a bond,  $-\text{O}-$ ,  $-\text{S}-$ ,  $-\text{N}(\text{R}^{24})-$ ,  $-\text{N}(\text{R}^{25})-\text{C}(\text{O})-$ ,  $-\text{C}(\text{O})-\text{N}(\text{R}^{26})-$ , or  $-\text{C}(\text{O})-$ ;

Z is  $\text{C}_{1-6}$  alkyl,

optionally interrupted with oxygen, sulfur or  $-\text{N}(\text{R}^{27})-$

and/or optionally substituted with one or independently from each other more of

halogen;

CN;

$\text{C}_{3-6}$  cycloalkyl;

$-\text{COOR}^{28}$ ;

$-\text{CON}(\text{R}^{29}\text{R}^{30})$

and/or optionally one chain carbon forms part of a  $\text{C}_{3-6}$  cycloalkyl;

and wherein  $\text{R}^{24}$ ,  $\text{R}^{25}$ ,  $\text{R}^{26}$ ,  $\text{R}^{27}$ ,  $\text{R}^{28}$ ,  $\text{R}^{29}$ ,  $\text{R}^{30}$  are independently

hydrogen; or

$\text{C}_{1-4}$  alkyl, optionally substituted with  $-\text{COOR}^{31}$  or  $-\text{CON}(\text{R}^{32}\text{R}^{33})$

wherein  $\text{R}^{31}$ ,  $\text{R}^{32}$ ,  $\text{R}^{33}$  are independently hydrogen or  $\text{C}_{1-4}$  alkyl;

X is  $=\text{C}(\text{R}^{34})-$  or  $=\text{N}-$ , wherein  $\text{R}^{34}$  is

hydrogen;

$\text{C}_{1-6}$  alkyl, optionally substituted with one or more fluoro; or

$-\text{S}(\text{O})_2\text{R}^{35}$ , wherein  $\text{R}^{35}$  is selected from the group consisting of  $\text{X}^1$ ,  $\text{C}_{1-6}$  alkyl,

and  $-\text{C}_{1-6}$  alkyl- $\text{X}^1$ ; wherein  $\text{R}^{35}$  is optionally substituted with one or

independently from each other more of

fluoro;

chloro;

$\text{C}_{1-4}$  alkyl; or

$-\text{O}-\text{C}_{1-4}$  alkyl;

$\text{X}^1$  is phenyl or heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of  $-\text{O}-$ ,  $-\text{S}-$ ,  $-\text{S}(\text{O})-$ ,  $-\text{S}(\text{O})_2-$ ,  $-\text{N}=\text{}$ ,  $-\text{N}(\text{O})=\text{}$  and  $-\text{N}(\text{R}^{36})-$ ;

and wherein  $R^{36}$  is selected from the group consisting of hydrogen,  $C_{1-4}$  alkyl and  $-C(O)-C_{1-4}$  alkyl;

G is  $-CH(R^{37})-C(R^{38}R^{39})-$ ;

$-CH(R^{37})-C(R^{38}R^{39})-C(R^{40}R^{41})-$ ;

wherein  $R^{37}$ ,  $R^{38}$ ,  $R^{39}$ ,  $R^{40}$ ,  $R^{41}$  are independently  
hydrogen;

$C_{1-4}$  alkyl, optionally substituted with one or more fluoro;

$C_{3-6}$  cycloalkyl, optionally substituted with one or more fluoro;

or  $R^{38}$  and  $R^{39}$  or  $R^{40}$  and  $R^{41}$  form together  $C_{3-6}$  cycloalkyl, optionally  
substituted with one or more fluoro,  $-OH$ ,  $C_{1-4}$  alkyl;

or  $R^{37}$  and  $R^{38}$  or  $R^{38}$  and  $R^{40}$  form together  $C_{3-6}$  cycloalkyl, optionally  
substituted with one or more fluoro,  $-OH$ ,  $C_{1-4}$  alkyl;

D is  $C_{1-6}$  alkyl,

optionally interrupted with oxygen, sulfur or  $-N(R^{42})-$

and/or optionally substituted with halogen, CN,  $C_{3-6}$  cycloalkyl;

and/or optionally one chain carbon or two vicinal carbons form part of a  $C_{3-6}$   
cycloalkyl, wherein  $R^{42}$  is selected from the group consisting of hydrogen,  $C_{1-4}$  alkyl,  
 $C_{3-6}$  cycloalkyl and  $-C(O)-C_{1-4}$  alkyl;

E is  $E^1$ , wherein  $E^1$  is selected from the group consisting of

naphthyl;

non-aromatic heterocycle containing up to 4 heteroatoms, which are the same or  
different and

selected from the group consisting of  $-O-$ ,  $-S-$ ,  $-S(O)-$ ,  $-S(O_2)-$ ,  $-N=$ ,  
 $-N(O)=$  and  $-N(R^{43})-$ ; and

heterobicycle containing up to 6 heteroatoms, which are the same or different

and selected from the group consisting of  $-O-$ ,  $-S-$ ,  $-S(O)-$ ,  $-S(O_2)-$ ,  $-N=$ ,  
 $-N(O)=$  and  $-N(R^{44})-$ ;

wherein  $E^1$  is optionally substituted with one or independently from each other more  
of

$E^2$ ;

$E^3$ ;

halogen;

CN;

-N(R<sup>45</sup>R<sup>46</sup>);

-OH;

=O, where the ring is at least partially saturated;

C<sub>3-6</sub> cycloalkyl;

-COOR<sup>47</sup>; or

-CONR<sup>48</sup>R<sup>49</sup>;

-S(O)<sub>2</sub>NR<sup>48a</sup>R<sup>49a</sup>;

and wherein R<sup>43</sup>, R<sup>44</sup>, R<sup>45</sup>, R<sup>46</sup> are independently selected from the group consisting of  
hydrogen;

C<sub>1-4</sub> alkyl optionally substituted with -OH;

and -C(O)-C<sub>1-4</sub> alkyl optionally substituted with -OH;

and wherein R<sup>47</sup>, R<sup>48</sup>, R<sup>48a</sup>, R<sup>49</sup>, R<sup>49a</sup> are independently hydrogen or C<sub>1-4</sub> alkyl,  
optionally substituted with -OH;

E<sup>2</sup> is selected from the group consisting of E<sup>4</sup>, -C(O)-E<sup>4</sup>, -O-E<sup>4</sup> and -N(R<sup>50</sup>)-E<sup>4</sup>,

wherein E<sup>4</sup> is phenyl or heterocycle containing up to 4 heteroatoms, which are the  
same or different and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-,  
-N=, -N(O)= and -N(R<sup>51</sup>)-; wherein E<sup>4</sup> is optionally substituted with one or  
independently from each other more of

fluoro;

chloro;

cyano;

=O, where the ring is at least partially saturated;

-N(R<sup>52</sup>R<sup>53</sup>);

C<sub>1-4</sub> alkyl; or

-O-C<sub>1-4</sub> alkyl;

and wherein R<sup>50</sup>, R<sup>52</sup>, R<sup>53</sup> are independently hydrogen or C<sub>1-4</sub> alkyl, optionally  
substituted with -OH;

and wherein R<sup>51</sup> is selected from the group consisting of  
hydrogen;

C<sub>1-4</sub> alkyl, optionally substituted with -OH; and

-C(O)-C<sub>1-4</sub> alkyl, optionally substituted with -OH;

$E^2$  is selected from the group consisting of  $C_{1-6}$  alkyl,  $-O-C_{1-6}$  alkyl,  $-N(R^{54})-C_{1-6}$  alkyl, wherein  $E^3$  is optionally substituted with one or independently from each other more of

fluoro;

$-N(R^{55}R^{56})$ ;

$E^5$ ;

and/or  $E^3$  is optionally interrupted with one or more oxygen;

and wherein  $R^{54}$ ,  $R^{55}$ ,  $R^{56}$  are independently hydrogen or  $C_{1-4}$ alkyl, optionally substituted with  $-OH$ ;

$E^5$  is phenyl or heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of  $-O-$ ,  $-S-$ ,  $-S(O)-$ ,  $-S(O)_2-$ ,  $-N=$ ,  $-N(O)=$  and  $-N(R^{57})-$ ; wherein  $E^5$  is optionally substituted with one or independently from each other more of

fluoro;

chloro;

cyano;

$=O$ , where the ring is at least partially saturated;

$-N(R^{58}R^{59})$ ;

$C_{1-4}$  alkyl or

$-O-C_{1-4}$  alkyl;

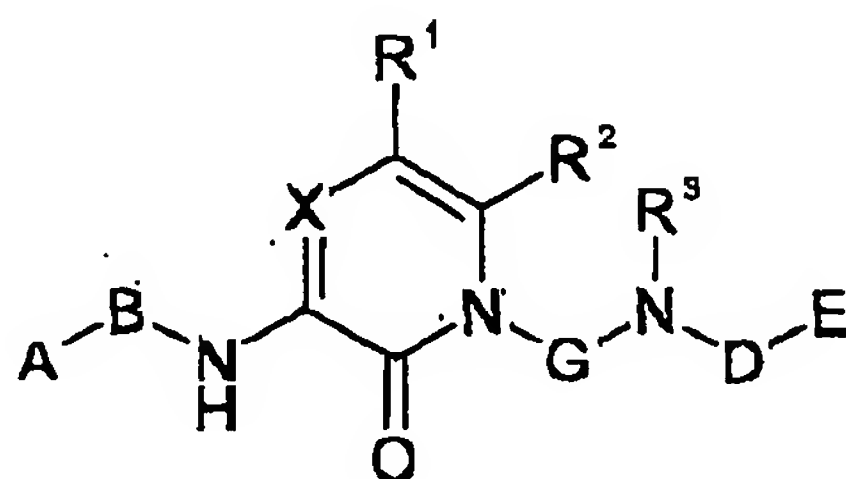
and wherein  $R^{57}$  is independently selected from the group consisting of hydrogen;

$C_{1-4}$  alkyl, optionally substituted with  $-OH$ ; and

$-C(O)-C_{1-4}$  alkyl, optionally substituted with  $-OH$ ;

and wherein  $R^{58}$ ,  $R^{59}$  are independently hydrogen or  $C_{1-4}$  alkyl, optionally substituted with  $-OH$ .

### 3. A compound of Formula (I)



(I)

or a pharmaceutically acceptable salt thereof, wherein;

R<sup>1</sup> is hydrogen;  
 CN;  
 halogen; or  
 C<sub>1-4</sub> alkyl, optionally substituted with one or more fluoro;

R<sup>2</sup> is hydrogen;  
 CN;  
 halogen;  
 C<sub>1-8</sub> alkyl, optionally substituted with one or more fluoro;  
 C<sub>3-6</sub> cycloalkyl; or  
 O-C<sub>1-4</sub> alkyl;

R<sup>3</sup> is hydrogen;  
 C<sub>1-4</sub> alkyl; or  
 C<sub>3-6</sub> cycloalkyl;

A is A<sup>1</sup>, wherein A<sup>1</sup> is selected from the group consisting of:  
 naphthyl;  
 heterocycle containing up to 4 heteroatoms, which are the same or different and  
 selected from the group consisting of -S(O)-, -S(O<sub>2</sub>)- and -N(O)=; and  
 heterobicycles containing up to 6 heteroatoms, which are the same or different  
 and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=,  
 -N(O)= and -N(R<sup>4</sup>)-;  
 wherein A<sup>1</sup> is optionally substituted with one or independently from each other  
 more of  
 A<sup>2</sup>;  
 A<sup>3</sup>;  
 halogen;  
 CN;  
 -N(R<sup>5</sup>R<sup>6</sup>);  
 -OH;  
 =O, where the ring is at least partially saturated;  
 C<sub>3-6</sub> cycloalkyl;  
 -COOR<sup>7</sup>; or





and wherein  $\text{R}^4$ ,  $\text{R}^5$ ,  $\text{R}^6$  are independently selected from the group consisting of  $\text{R}^{7a}$ ,  $-\text{C(O)}-\text{R}^{7a}$ ,  $-\text{C(O)}\text{O}-\text{R}^{7a}$ ,  $-\text{C(O)}\text{NR}^{7a}\text{R}^{7b}$ ,  $-\text{S(O)}_2\text{NR}^{7a}\text{R}^{7b}$ , and  $\text{S(O)}_2-\text{R}^{7a}$ ;

and wherein  $\text{R}^7$ ,  $\text{R}^{7a}$ ,  $\text{R}^{7b}$ ,  $\text{R}^8$ ,  $\text{R}^{8a}$ ,  $\text{R}^9$ ,  $\text{R}^{9a}$  are independently hydrogen or  $\text{C}_{1-4}$  alkyl, wherein each  $\text{C}_{1-4}$  alkyl is optionally substituted with one or more substituents independently selected from the group consisting of  $-\text{COOH}$ ,  $-\text{OH}$ ,  $-\text{NH}_2$ ,  $-\text{NH}-\text{C}_{1-4}$  alkyl,  $-\text{N}(\text{C}_{1-4} \text{ alkyl})_2$ , and  $\text{C}_{3-6}$  cycloalkyl;

Optionally  $\text{R}^4$  is a bond to directly attach A to B;

$\text{A}^2$  is selected from the group consisting of  $\text{A}^4$ ,  $-\text{O}-\text{A}^4$  and  $-\text{N}(\text{R}^{10})-\text{A}^4$ ,

wherein  $\text{A}^4$  is phenyl or a heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of  $-\text{O}-$ ,  $-\text{S}-$ ,  $-\text{S(O)}-$ ,  $-\text{S(O)}_2-$ ,  $-\text{N}=\text{}$ ,  $-\text{N(O)}=\text{}$  and  $-\text{N}(\text{R}^{11})-$ ; wherein  $\text{A}^4$  is optionally substituted with one or independently from each other more of

fluoro;

chloro;

$-\text{N}(\text{R}^{12}\text{R}^{13})$

$\text{C}_{1-4}$  alkyl or  $-\text{O}-\text{C}_{1-4}$  alkyl, both optionally substituted with one or independently from each other more of fluoro or  $-\text{N}(\text{R}^{14}\text{R}^{15})$ ;

and wherein  $\text{R}^{10}$ ,  $\text{R}^{12}$ ,  $\text{R}^{13}$ ,  $\text{R}^{14}$ ,  $\text{R}^{15}$  are independently hydrogen or  $\text{C}_{1-4}$  alkyl;

and wherein  $\text{R}^{11}$  is selected from the group consisting of hydrogen,  $\text{C}_{1-4}$  alkyl

and  $-\text{C(O)}-\text{C}_{1-4}$  alkyl;

$\text{A}^3$  is selected from the group consisting of  $\text{C}_{1-6}$  alkyl,  $-\text{O}-\text{C}_{1-6}$  alkyl and  $-\text{N}(\text{R}^{16})-\text{C}_{1-6}$  alkyl; wherein the  $\text{C}_{1-6}$  alkyl group is optionally substituted with one or independently from each other more of

fluoro;

$-\text{N}(\text{R}^{17}\text{R}^{18})$ ;

$\text{A}^5$ ;

and/or  $\text{A}^3$  is optionally interrupted with one or more oxygen;

and wherein  $\text{R}^{16}$ ,  $\text{R}^{17}$ ,  $\text{R}^{18}$  are independently hydrogen or  $\text{C}_{1-4}$  alkyl;

A<sup>5</sup> is phenyl or a heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=, -N(O)= and -N(R<sup>19</sup>)-; wherein A<sup>5</sup> is optionally substituted with one or independently from each other more of

fluoro;

chloro;

-N(R<sup>20</sup>R<sup>21</sup>);

C<sub>1-4</sub> alkyl or -O-C<sub>1-4</sub> alkyl, both optionally substituted with one or independently from each other more of fluoro or -N(R<sup>22</sup>R<sup>23</sup>);

and wherein R<sup>19</sup> is selected from the group consisting of hydrogen, C<sub>1-4</sub> alkyl

and -C(O)-C<sub>1-4</sub> alkyl;

and wherein R<sup>20</sup>, R<sup>21</sup>, R<sup>22</sup>, R<sup>23</sup> are independently hydrogen or C<sub>1-4</sub> alkyl;

B is selected from the group consisting of -Y-Z-, -Y-Z-C(O)-, -Y-Z-O-C(O)-, -Y-Z-S(O)<sub>2</sub>- and -Y-Z-NH-C(O)- wherein

Y is a bond, -O-, -S-, -N(R<sup>24</sup>)-, -N(R<sup>25</sup>)-C(O)-, -C(O)-N(R<sup>26</sup>)-, or -C(O)-;

Z is C<sub>1-6</sub> alkyl,

optionally interrupted with oxygen, sulfur or -N(R<sup>27</sup>)-

and/or optionally substituted with one or independently from each other more of

halogen;

CN;

C<sub>3-6</sub> cycloalkyl;

-COOR<sup>28</sup>;

-CON(R<sup>29</sup>R<sup>30</sup>)

and/or optionally one chain carbon forms part of a C<sub>3-6</sub> cycloalkyl;

and wherein R<sup>24</sup>, R<sup>25</sup>, R<sup>26</sup>, R<sup>27</sup>, R<sup>28</sup>, R<sup>29</sup>, R<sup>30</sup> are independently

hydrogen; or

C<sub>1-4</sub> alkyl, optionally substituted with -COOR<sup>31</sup> or -CON(R<sup>32</sup>R<sup>33</sup>)

wherein R<sup>31</sup>, R<sup>32</sup>, R<sup>33</sup> are independently hydrogen; or

C<sub>1-4</sub> alkyl;

X is =C(R<sup>34</sup>)- or =N-, wherein R<sup>34</sup> is

hydrogen;

C<sub>1-6</sub> alkyl, optionally substituted with one or more fluoro; or

-S(O)<sub>2</sub>R<sup>35</sup>, wherein R<sup>35</sup> is selected from the group consisting of X<sup>1</sup>, C<sub>1-6</sub> alkyl,

and  $\text{C}_{1-6}$  alkyl- $\text{X}^1$ ; wherein  $\text{R}^{35}$  is optionally substituted with one or independently from each other more of  
 fluoro;  
 chloro;  
 $\text{C}_{1-4}$  alkyl; or  
 $-\text{O}-\text{C}_{1-4}$  alkyl;

$\text{X}^1$  is phenyl or heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of  $-\text{O}-$ ,  $-\text{S}-$ ,  $-\text{S}(\text{O})-$ ,  $-\text{S}(\text{O}_2)-$ ,  $-\text{N}=-$ ,  $-\text{N}(\text{O})=$  and  $-\text{N}(\text{R}^{36})-$ ; and wherein  $\text{R}^{36}$  is selected from the group consisting of hydrogen,  $\text{C}_{1-4}$  alkyl and  $-\text{C}(\text{O})-\text{C}_{1-4}$  alkyl;

G is  $-\text{CH}(\text{R}^{37})-\text{C}(\text{R}^{38}\text{R}^{39})-$ ;  
 $-\text{CH}(\text{R}^{37})-\text{C}(\text{R}^{38}\text{R}^{39})-\text{C}(\text{R}^{40}\text{R}^{41})-$ ;  
 wherein  $\text{R}^{37}$ ,  $\text{R}^{38}$ ,  $\text{R}^{39}$ ,  $\text{R}^{40}$ ,  $\text{R}^{41}$  are independently  
 hydrogen;  
 $\text{C}_{1-4}$  alkyl, optionally substituted with one or more fluoro;  
 $\text{C}_{3-6}$  cycloalkyl, optionally substituted with one or more fluoro;  
 or  $\text{R}^{38}$  and  $\text{R}^{39}$  or  $\text{R}^{40}$  and  $\text{R}^{41}$  form together  $\text{C}_{3-6}$  cycloalkyl, optionally substituted with one or more fluoro,  $-\text{OH}$ ,  $\text{C}_{1-4}$  alkyl;  
 or  $\text{R}^{37}$  and  $\text{R}^{38}$  or  $\text{R}^{38}$  and  $\text{R}^{40}$  form together  $\text{C}_{3-6}$  cycloalkyl, optionally substituted with one or more fluoro,  $-\text{OH}$ ,  $\text{C}_{1-4}$  alkyl;

D is  $\text{C}_{1-6}$  alkyl,  
 optionally interrupted with oxygen, sulfur or  $-\text{N}(\text{R}^{42})-$   
 and/or optionally substituted with halogen, CN,  $\text{C}_{3-6}$  cycloalkyl;  
 and/or optionally one chain carbon or two vicinal carbons form part of a  $\text{C}_{3-6}$  cycloalkyl, wherein  $\text{R}^{42}$  is selected from the group consisting of hydrogen,  $\text{C}_{1-4}$  alkyl,  $\text{C}_{3-6}$  cycloalkyl and  $-\text{C}(\text{O})-\text{C}_{1-4}$  alkyl;

E is  $\text{E}^1$ , wherein  $\text{E}^1$  is selected from the group consisting of  
 phenyl;  
 naphthyl;  
 heterocycle containing up to 4 heteroatoms, which are the same or different and

selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=, -N(O)= and -N(R<sup>43</sup>)-; and

heterobicycle containing up to 6 heteroatoms, which are the same or different

and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=, -N(O)= and -N(R<sup>44</sup>)-;

wherein E<sup>1</sup> is optionally substituted with one or independently from each other more of

E<sup>2</sup>;

E<sup>3</sup>;

halogen;

CN;

-N(R<sup>45</sup>R<sup>46</sup>);

-OH;

=O, where the ring is at least partially saturated;

C<sub>3-6</sub> cycloalkyl;

-COOR<sup>47</sup>; or

-CONR<sup>48</sup>R<sup>49</sup>;

-S(O)<sub>2</sub>NR<sup>48a</sup>R<sup>49a</sup>;

and wherein R<sup>43</sup>, R<sup>44</sup>, R<sup>45</sup>, R<sup>46</sup> are independently selected from the group consisting of hydrogen;

C<sub>1-4</sub> alkyl optionally substituted with -OH;

and -C(O)-C<sub>1-4</sub> alkyl optionally substituted with -OH;

and wherein R<sup>47</sup>, R<sup>48</sup>, R<sup>48a</sup>, R<sup>49</sup>, R<sup>49a</sup> are independently hydrogen or C<sub>1-4</sub> alkyl, optionally substituted with -OH;

E<sup>2</sup> is selected from the group consisting of E<sup>4</sup>, -C(O)-E<sup>4</sup>, -O-E<sup>4</sup> and -N(R<sup>50</sup>)-E<sup>4</sup>,

wherein E<sup>4</sup> is phenyl or heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=, -N(O)= and -N(R<sup>51</sup>)-; wherein E<sup>4</sup> is optionally substituted with one or independently from each other more of

fluoro;

chloro;

cyano;

=O, where the ring is at least partially saturated;

-N(R<sup>52</sup>R<sup>53</sup>);

C<sub>1-4</sub> alkyl; or

-O-C<sub>1-4</sub> alkyl;

and wherein R<sup>50</sup>, R<sup>52</sup>, R<sup>53</sup> are independently hydrogen or C<sub>1-4</sub> alkyl, optionally substituted with -OH;

and wherein R<sup>51</sup> is selected from the group consisting of

hydrogen;

C<sub>1-4</sub> alkyl, optionally substituted with -OH; and

-C(O)-C<sub>1-4</sub> alkyl, optionally substituted with -OH;

E<sup>3</sup> is selected from the group consisting of C<sub>1-6</sub> alkyl, -O-C<sub>1-6</sub> alkyl, -N(R<sup>54</sup>)-C<sub>1-6</sub> alkyl, wherein E<sup>3</sup> is optionally substituted with one or independently from each other more of

fluoro;

-N(R<sup>55</sup>R<sup>56</sup>);

E<sup>5</sup>;

and/or E<sup>3</sup> is optionally interrupted with one or more oxygen;

and wherein R<sup>54</sup>, R<sup>55</sup>, R<sup>56</sup> are independently hydrogen or C<sub>1-4</sub> alkyl, optionally substituted with -OH;

E<sup>5</sup> is phenyl or heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=, -N(O)= and -N(R<sup>57</sup>)-; wherein E<sup>5</sup> is optionally substituted with one or independently from each other more of

fluoro;

chloro;

cyano;

=O, where the ring is at least partially saturated;

-N(R<sup>58</sup>R<sup>59</sup>);

C<sub>1-4</sub> alkyl or

-O-C<sub>1-4</sub> alkyl;

and wherein R<sup>57</sup> is independently selected from the group consisting of hydrogen;

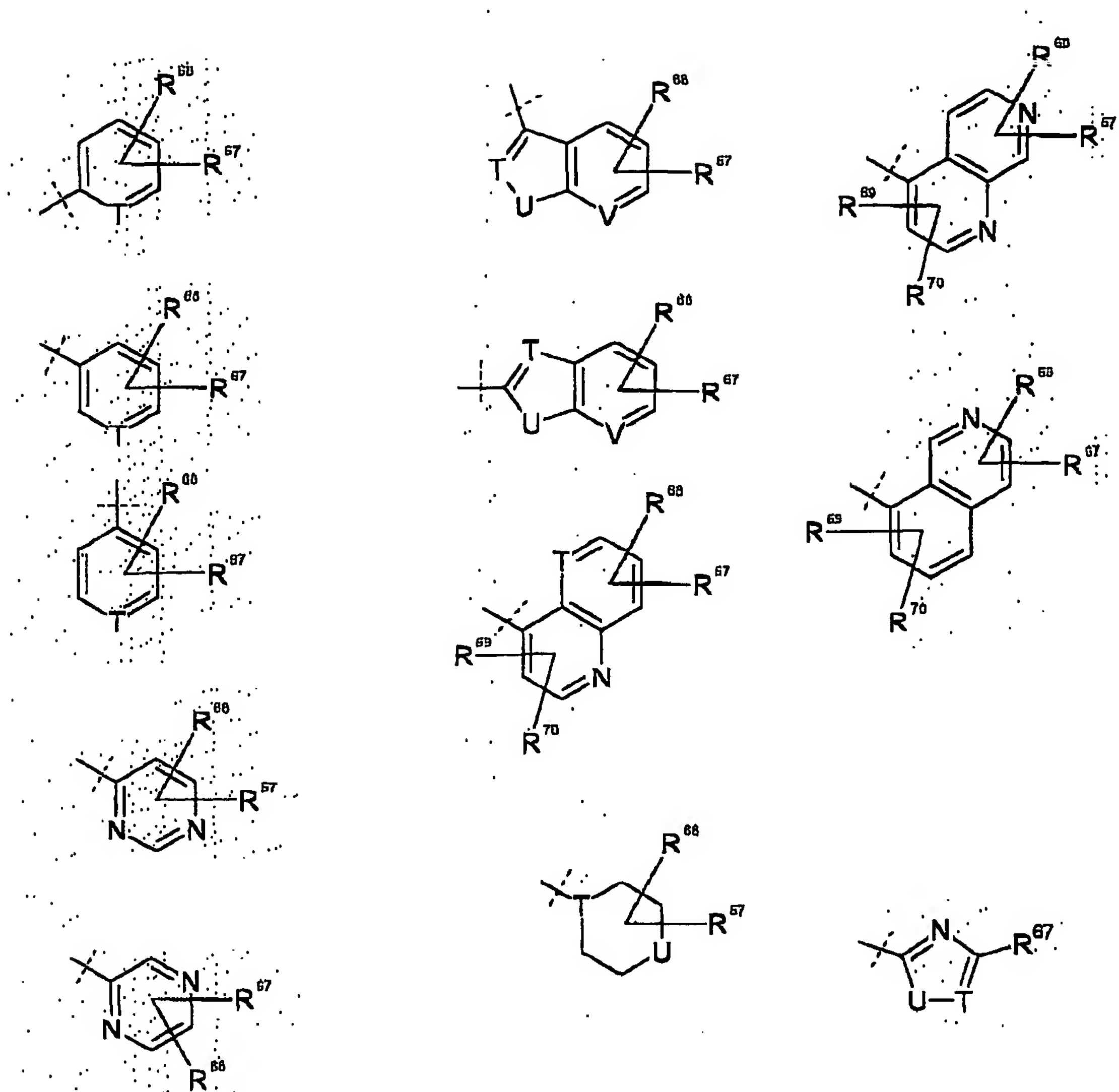
C<sub>1-4</sub> alkyl, optionally substituted with -OH; and

-C(O)-C<sub>1-4</sub> alkyl, optionally substituted with -OH;

and wherein R<sup>58</sup>, R<sup>59</sup> are independently hydrogen or C<sub>1-4</sub> alkyl, optionally substituted with -OH.

4. A compound according to any of the preceding claims, wherein  $R^1$  is hydrogen.
5. A compound according to any of the preceding claims, wherein  $R^2$  is hydrogen, chloro,  $-CH_3$ ,  $-CH_2-CH_3$ ,  $-CH_2-CH_2-CH_3$ ,  $-CH_2-CH_2-CH_2-CH_3$ ,  $-CH_2F$ ,  $-CHF_2$  or  $-CN$ .
6. A compound according to any one of the preceding claims, wherein  $R^3$  is hydrogen.
7. A compound according to any one of the preceding claims, wherein  $A^1$  is phenyl or heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of  $-O-$ ,  $-S-$ ,  $-S(O)-$ ,  $-S(O)_2-$ ,  $-N=$ ,  $-N(O)=$  and  $-N(R^4)-$ , wherein  $R^4$  has the meaning as indicated in claim 1.
8. A compound according to claim 7, wherein  $A^1$  is selected from the group consisting of phenyl, pyridine, pyridine-N oxide, piperidine, morpholine, and pyrrolidine.
9. A compound according to any of the preceding claims, wherein  $R^4$  is a bond,  $-COOC_{1-4}$  alkyl, methyl, ethyl, 2-hydroxyethyl,  $-COOH$ ,  $-CH_2-COOH$ ,  $-CH_2-COO-C_{1-4}$  alkyl or cyclopropylmethyl and wherein  $A^1$  is optionally substituted with up to 4 F.
10. A compound according to any one of the preceding claims, wherein B is  $-Y-Z-$ .
11. A compound according to any one of the preceding claims, wherein Y is a bond,  $-O-$ ,  $-NH-$ ,  $-S(O)_z-$  or  $-C(O)-$ .
12. A compound according to any one of the preceding claims, wherein Z is  $-C(R^{60}R^{61})-$  or  $-C(R^{60}R^{61})-C(R^{62}R^{63})-$ , wherein
  - $R^{60}$ ,  $R^{61}$ ,  $R^{62}$ ,  $R^{63}$  are independently hydrogen,  $-C(O)NH_2$ ,  $-COOH$ ,  $-CH_2-COOH$ ,  $-CH_2-C(O)NH_2$ , fluoro, methyl, cyclopropyl or
  - $R^{60}$  and  $R^{61}$  form a cyclopropyl ring or
  - $R^{62}$  and  $R^{63}$  form a cyclopropyl ring or
  - $R^{60}$  and  $R^{62}$  form a cyclopropyl or cyclobutyl ring.
13. A compound according to claim 12, wherein  $R^{60}$ ,  $R^{61}$ ,  $R^{62}$ ,  $R^{63}$  are independently hydrogen, fluoro or  $-C(O)NH_2$ .

14. A compound according to any one of the preceding claims, wherein X is =N-.
15. A compound according to any of the preceding claims, wherein G is  $-\text{CH}(\text{R}^{64})-\text{C}(\text{R}^{65}\text{R}^{66})-$ ; wherein  $\text{R}^{64}$ ,  $\text{R}^{65}$ ,  $\text{R}^{66}$  are independently hydrogen, F, methyl,  $-\text{CH}_2\text{F}$ ,  $-\text{CHF}_2$ ,  $\text{CF}_3$  or cyclopropyl or  $\text{R}^{65}$ ,  $\text{R}^{66}$  form together cyclopropyl.
16. a compound according to any one of the preceding claims, wherein G is  $-\text{CH}_2-\text{CH}_2-$ .
17. A compound according to any one of the preceding claims, wherein D is  $-\text{CH}_2-$ ,  $-\text{CF}_2-$ ,  $-\text{CH}(\text{CH}_3)-$ ,  $-\text{C}(\text{CH}_3)_2-$  or  $\text{D}^1-\text{D}^2$ , where  $\text{D}^1$  and  $\text{D}^2$  are independently  $-\text{CH}_2-$ ,  $-\text{CF}_2-$ ,  $-\text{CH}(\text{CH}_3)-$  or  $-\text{C}(\text{CH}_3)_2-$  and wherein  $\text{D}^2$  is optionally  $-\text{CH}_2\text{NH}-$ .
18. A compound according to claim 17, wherein D is  $-\text{CH}_2-$ ,  $-\text{CH}(\text{CH}_3)-$ ,  $-\text{CH}_2-\text{CH}_2-$ ,  $-\text{CH}_2-\text{CF}_2$  or  $-\text{CH}_2-\text{CH}_2-\text{NH}-$ .
19. A compound according to any one of the preceding claims, wherein -E is selected from the group consisting of phenyl; heterocycle containing up to three heteroatoms, which are the same or different and selected from the group consisting of -O-, -N=, -N(O)- and -NH-; and heterobicycle containing up to three heteroatoms, which are the same or different and selected from the group consisting of -O-, -N=, and -NH-; and wherein E is optionally substituted with up to two substituents which are the same or different and selected from the group consisting of CN, F, Cl,  $\text{C}_{1-4}$  alkyl, OH, O- $\text{C}_{1-4}$  alkyl,  $\text{NH}_2$ , NH- $\text{C}_{1-4}$  alkyl, N( $\text{C}_{1-4}$  alkyl) $_2$ , C(O) $\text{NH}_2$ , C(O)NH- $\text{C}_{1-4}$  alkyl, and C(O)N( $\text{C}_{1-4}$  alkyl) $_2$ , wherein each  $\text{C}_{1-4}$  alkyl is optionally substituted with one or more substituents independently selected from OH and F.
20. A compound according to claim 19, wherein -E is phenyl, pyridine, benzimidazole, indazole, quinoline, isoquinoline, pyridine-(N)-oxide, benzothiophene, indole, azaindole, benzofuran, benzisoxazole, benzoxazole, benzothiazole.
21. A compound according to any one of the preceding claims, wherein -E is selected from the group consisting of



wherein

T and V are independently =CH-, =CR<sup>71</sup>-, =N- or =N(O)-;

U is -NH-, -NR<sup>72</sup>-, -O-, or -S-, wherein

R<sup>67</sup>, R<sup>68</sup>, R<sup>69</sup>, R<sup>70</sup>, R<sup>71</sup> are independently selected from the group consisting of

hydrogen;

C<sub>3-6</sub> cycloalkyl;

E<sup>6</sup>;

E<sup>7</sup>;

halogen;

CN;

-N(R<sup>73</sup>R<sup>74</sup>);

-OH; and



$\text{COOR}^{75}$  or  $-\text{C(O)NR}^{76}\text{R}^{77}$ ;

and wherein  $\text{R}^{72}$ ,  $\text{R}^{73}$ ,  $\text{R}^{74}$ ,  $\text{R}^{75}$ ,  $\text{R}^{76}$ ,  $\text{R}^{77}$  are independently

hydrogen;

$\text{C}_{1-4}$  alkyl; or

$-\text{C(O)-C}_{1-4}$  alkyl;

$\text{E}^6$  is selected from the group consisting of  $\text{C}_{1-6}$  alkyl;  $-\text{O-C}_{1-6}$  alkyl; and  $-\text{N(R}^{78})-\text{C}_{1-6}$  alkyl, wherein the  $\text{C}_{1-6}$  alkyl group is optionally substituted with one or more of

halogen;

CN;

$-\text{N(R}^{79}\text{R}^{80})$ ;

phenyl, optionally substituted with chloro;

heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of  $-\text{O-}$ ,  $-\text{S-}$ ,  $-\text{S(O)-}$ ,  $-\text{S(O)}_2-$ ,  $-\text{N=}$ ,  $-\text{N(O)=}$  and  $-\text{N(R}^{81})-$ , optionally substituted with chloro;

and/or  $\text{E}^6$  is optionally interrupted by one or more of oxygen;

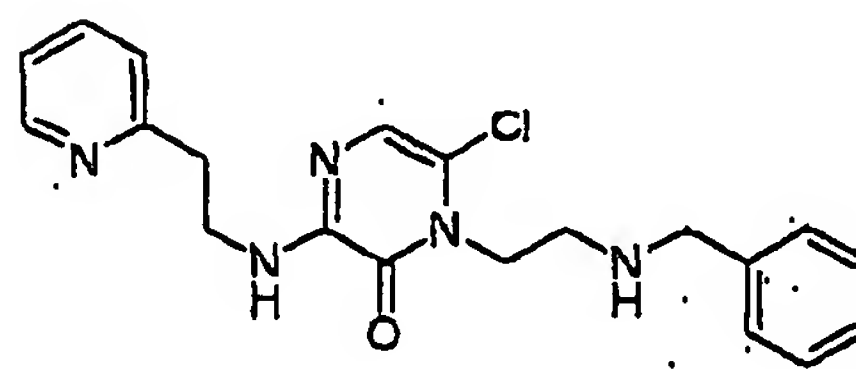
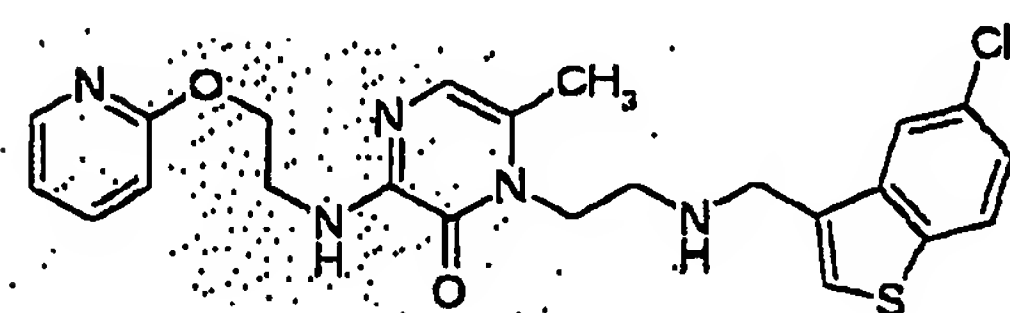
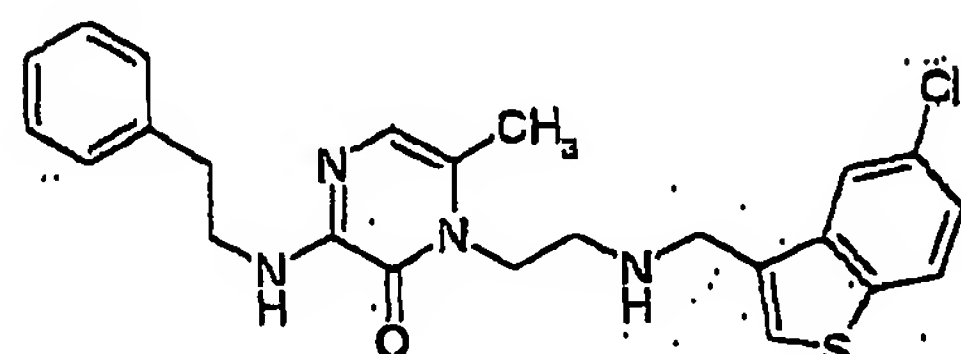
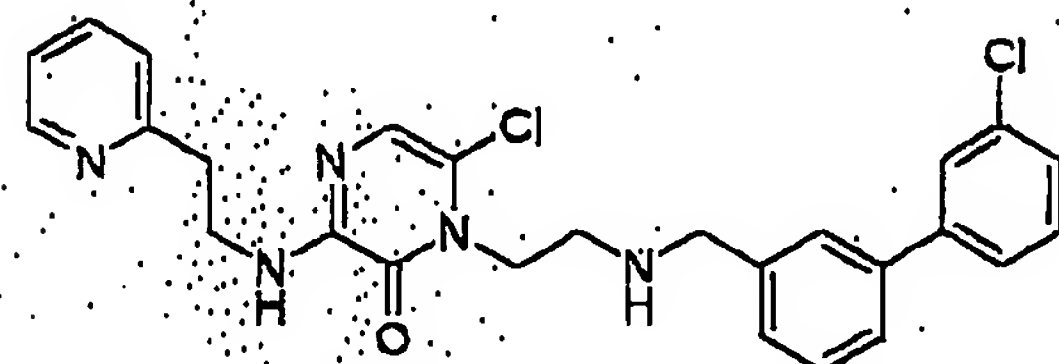
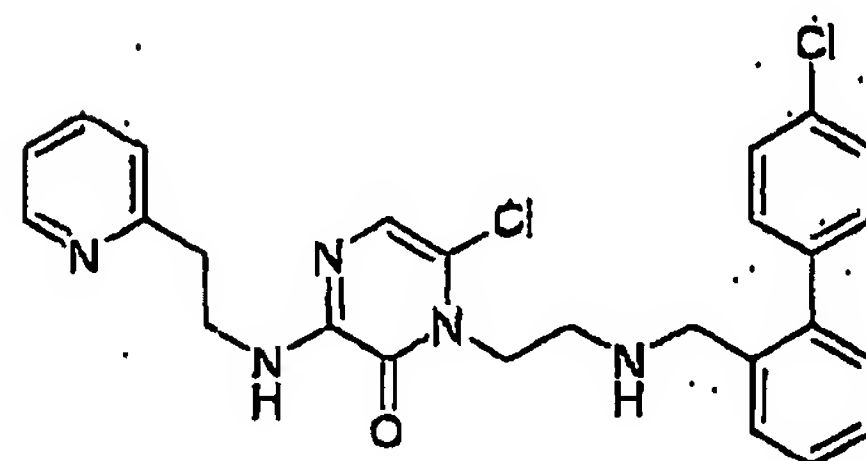
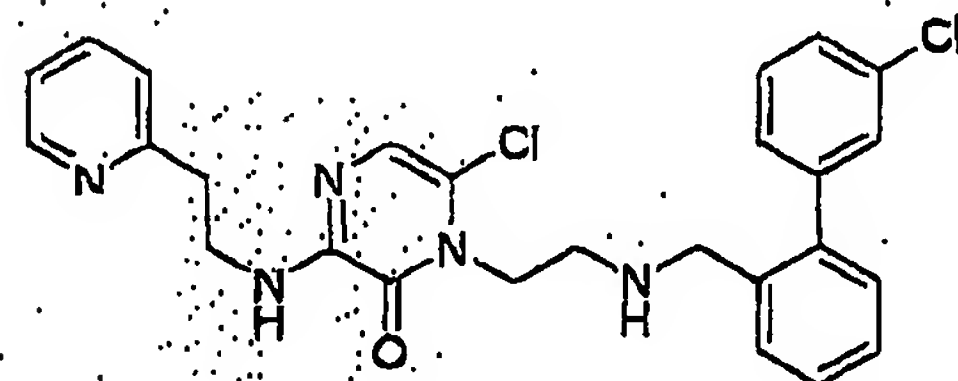
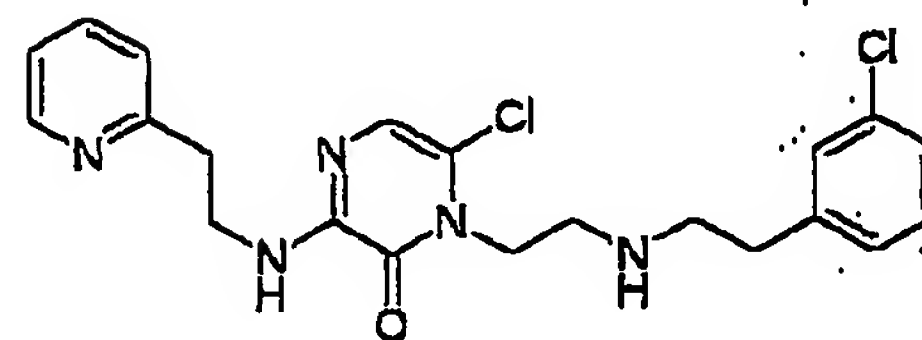
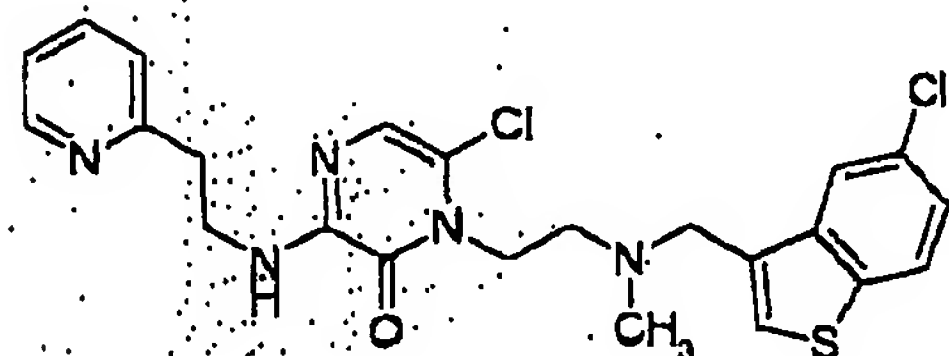
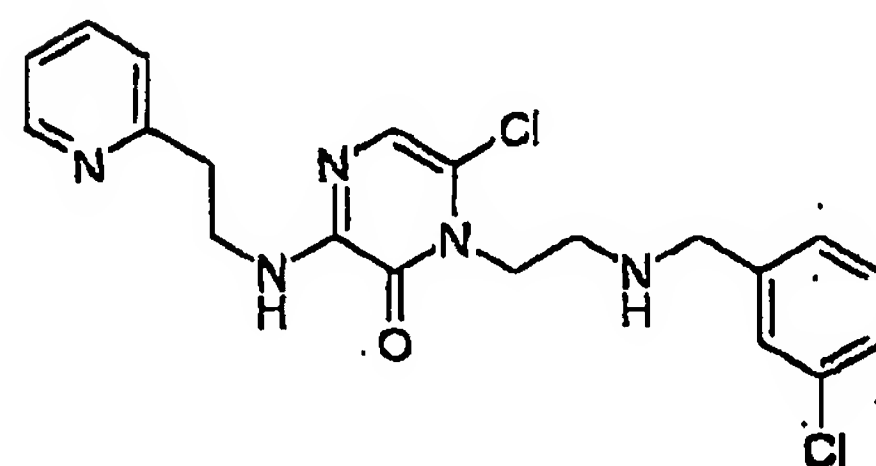
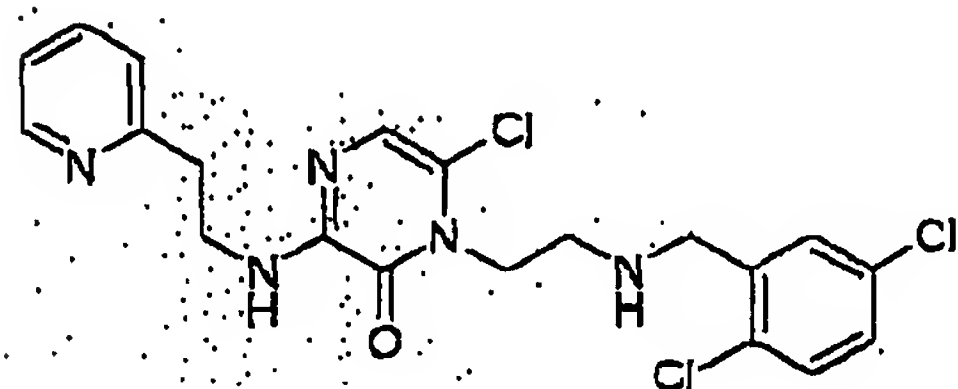
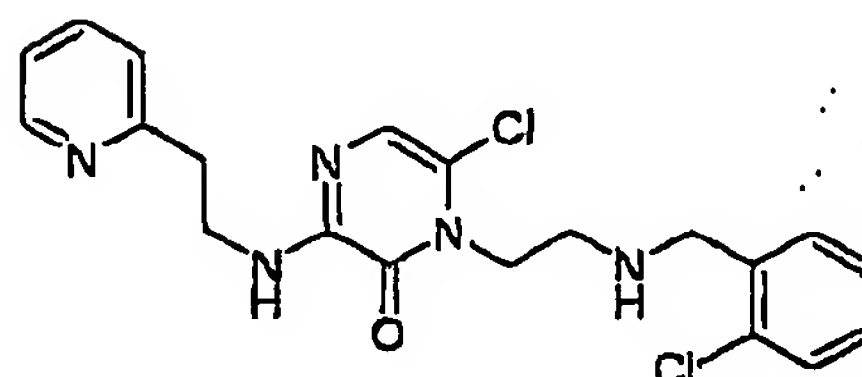
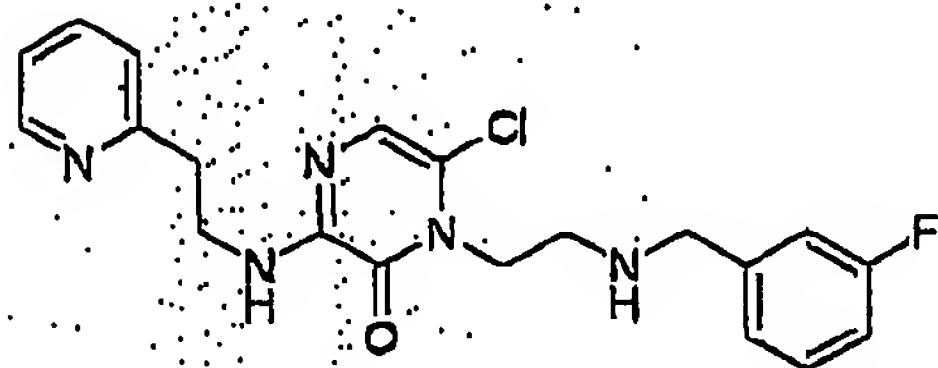
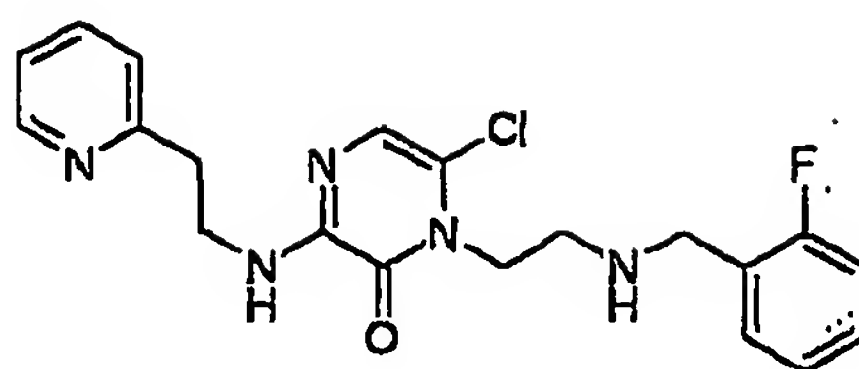
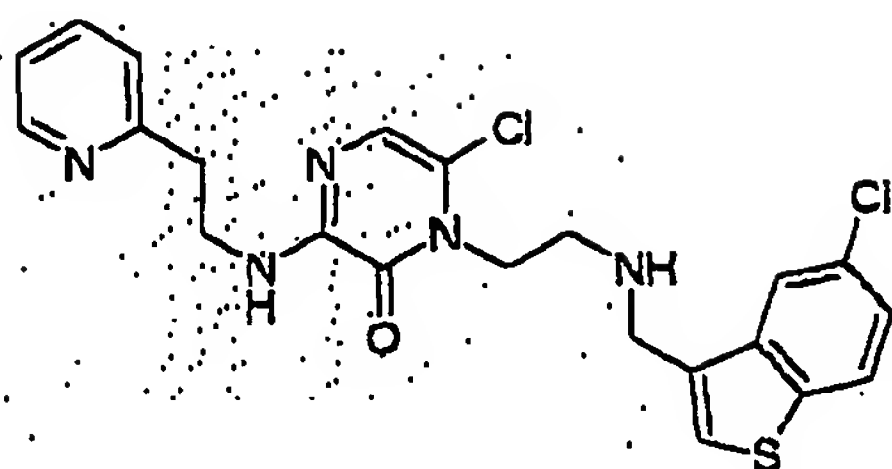
and wherein  $\text{R}^{78}$ ,  $\text{R}^{79}$ ,  $\text{R}^{80}$ ,  $\text{R}^{81}$  are independently hydrogen,  $\text{C}_{1-4}$  alkyl;

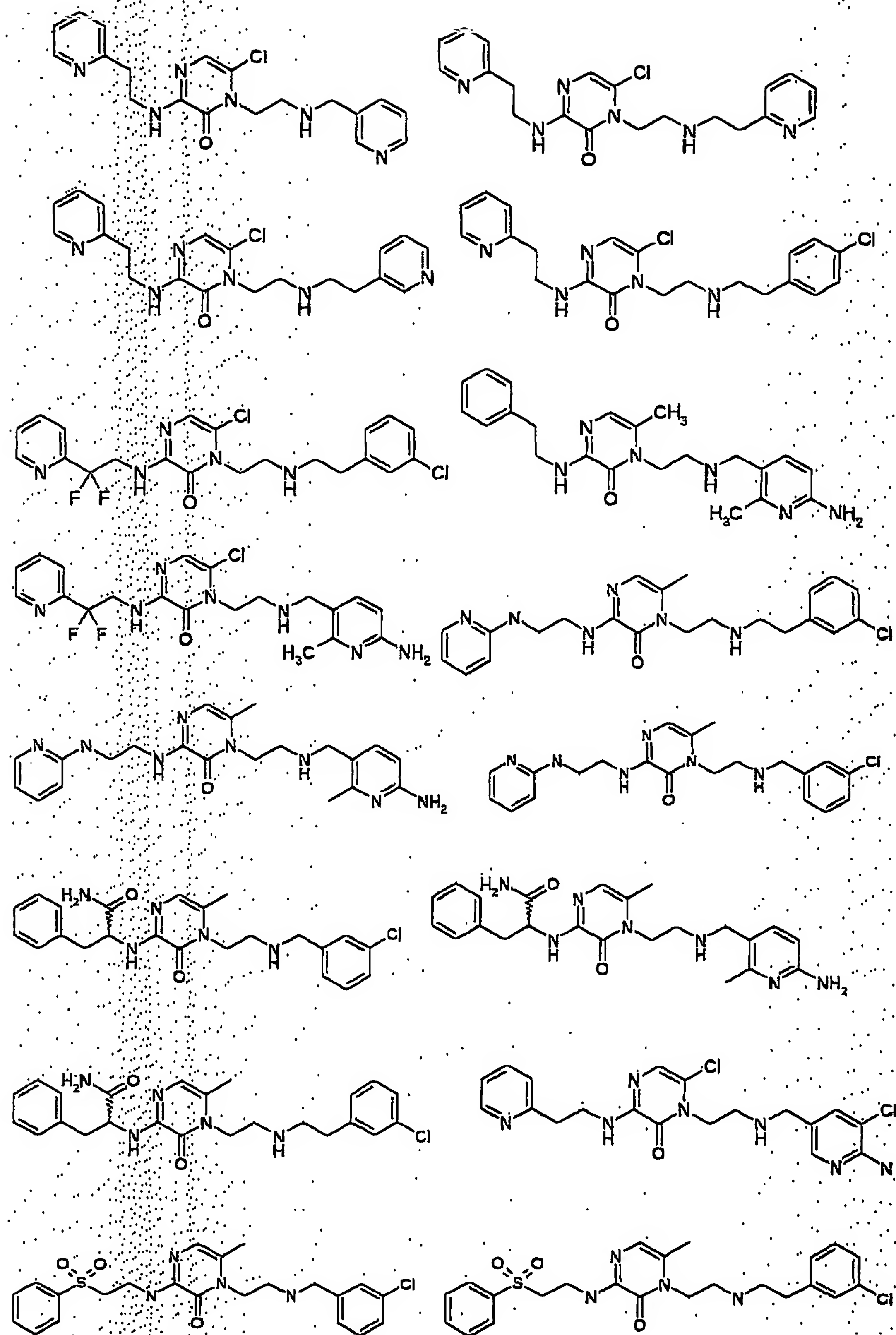
$\text{E}^7$  is selected from the group consisting of  $\text{E}^8$ ;  $-\text{O-E}^8$ ;  $-\text{N(R}^{82})-\text{E}^8$ ; and  $-\text{C(O)-E}^8$ , wherein  $\text{E}^8$  is phenyl or heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of  $-\text{O-}$ ,  $-\text{S-}$ ,  $-\text{S(O)-}$ ,  $-\text{S(O)}_2-$ ,  $-\text{N=}$ ,  $-\text{N(O)=}$  and  $-\text{N(R}^{83})-$ ; and wherein  $\text{E}^8$  is optionally substituted with chloro or  $-\text{N(R}^{84}\text{R}^{85})$ ;

and wherein  $\text{R}^{82}$ ,  $\text{R}^{83}$ ,  $\text{R}^{84}$ ,  $\text{R}^{85}$  are independently hydrogen or  $\text{C}_{1-4}$  alkyl.

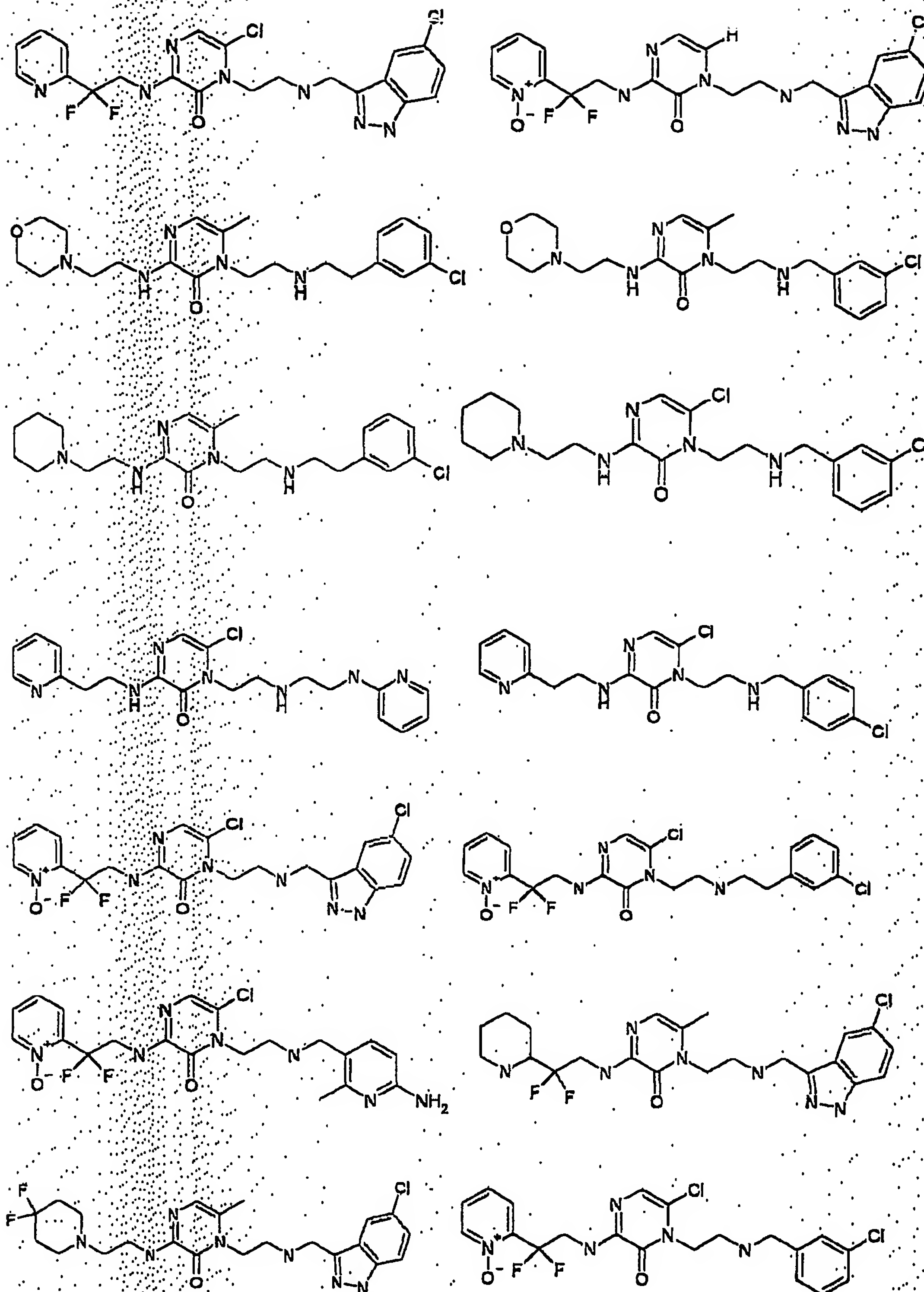
22. A compound according to claim 21, wherein  $\text{R}^{67}$ ,  $\text{R}^{68}$ ,  $\text{R}^{69}$ ,  $\text{R}^{70}$ ,  $\text{R}^{71}$  are independently selected from the group consisting of hydrogen, fluoro, chloro, cyano, phenyl, chlorophenyl, methyl, methoxy, amino, monomethyl amino, dimethyl amino, pyrrolyl, diazoly, triazolyl, and tetrazolyl.

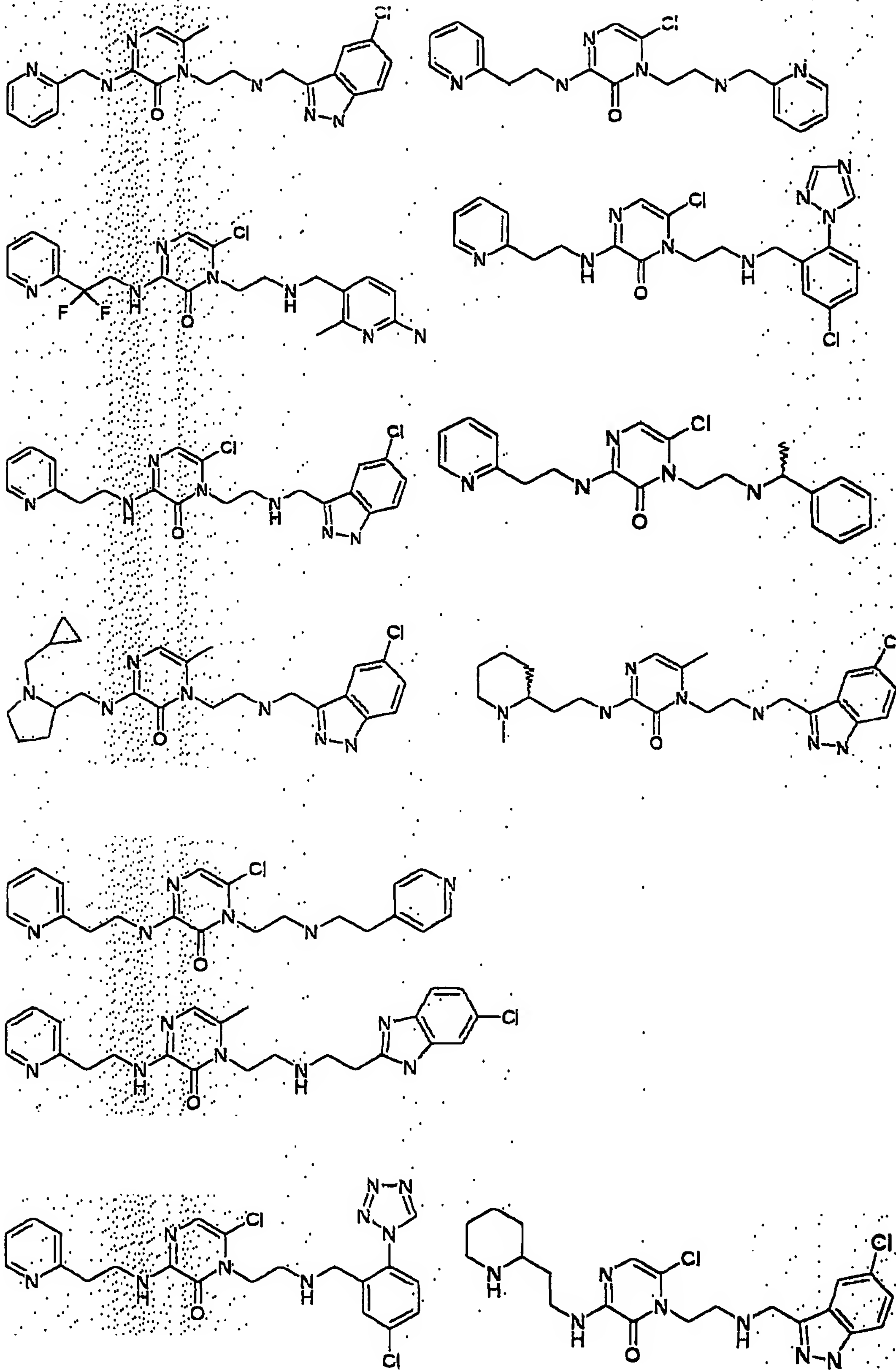
23. A compound selected from the group consisting of:

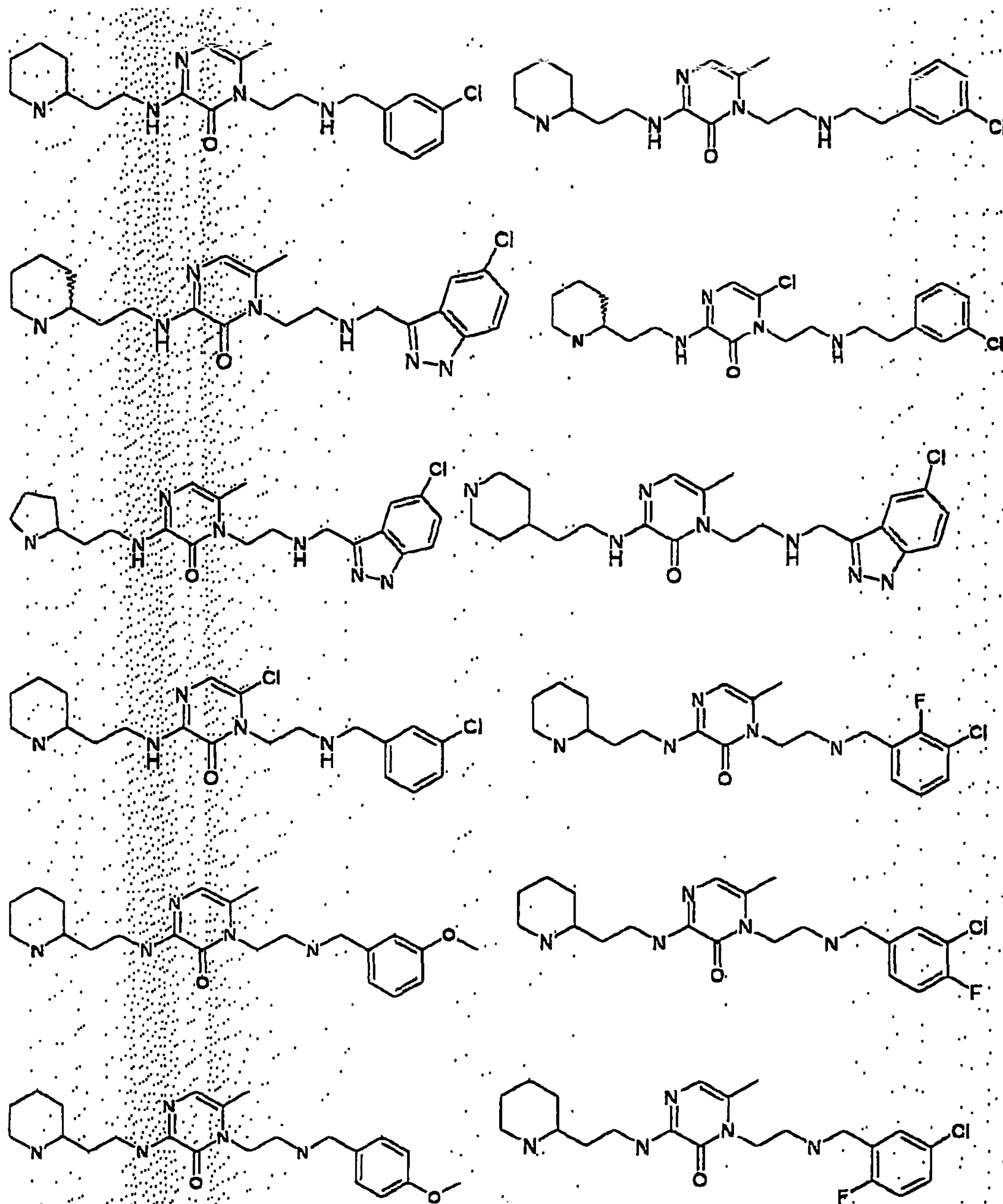


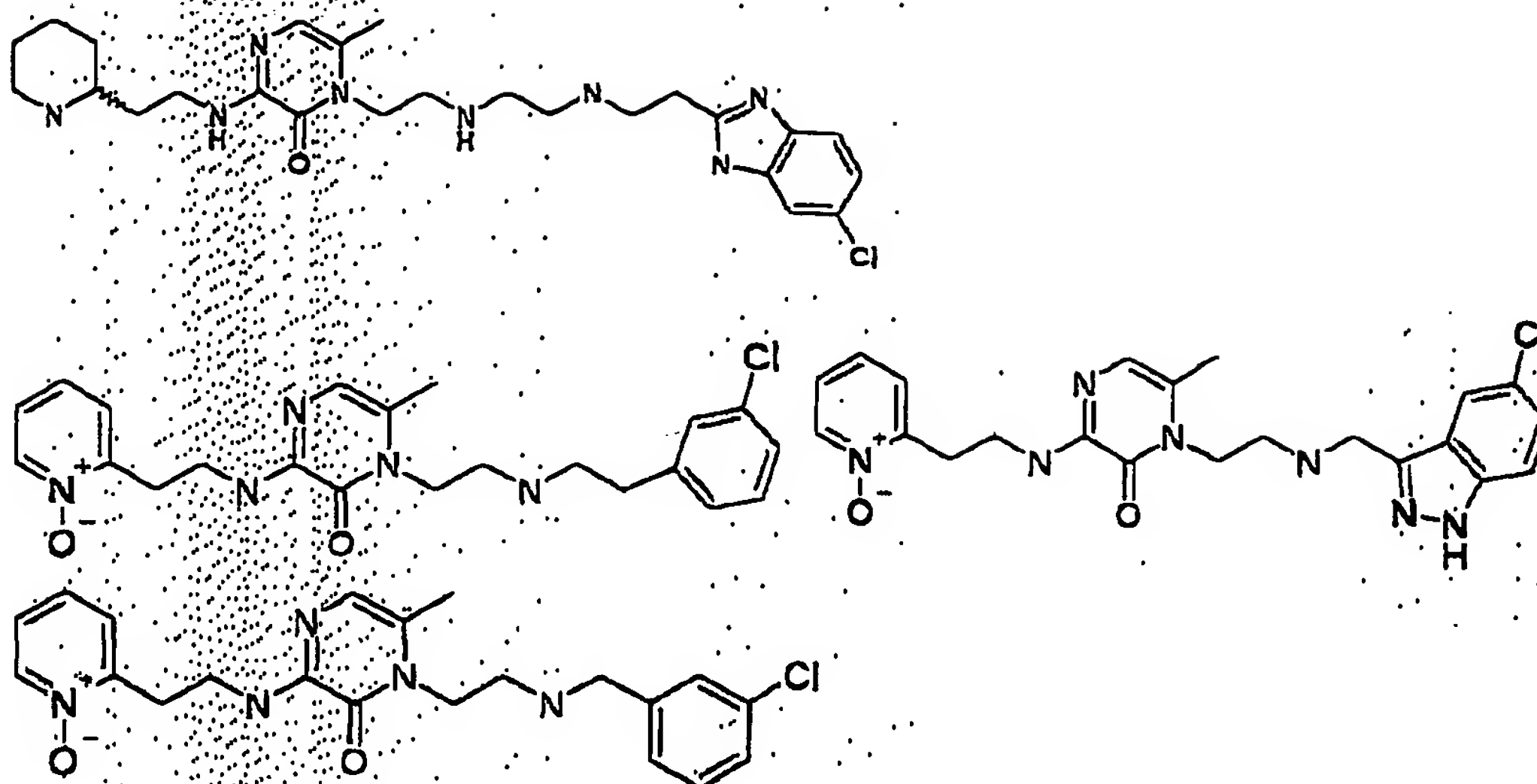












24. A prodrug of a compound according to any one of the claims 1 to 23.
25. A pharmaceutical composition comprising a compound or a mixture of compounds or a pharmaceutically acceptable salt thereof according to any one of the claims 1 to 23 together with a pharmaceutically acceptable carrier.
26. A pharmaceutical composition comprising a prodrug according to claim 24 or a mixture of prodrugs or prodrugs and compounds according to any one of the claims 1 to 23 or a pharmaceutically acceptable salt together with a pharmaceutically acceptable carrier.
27. A pharmaceutical composition according to claim 25 or 26, additionally comprising one or more known anticoagulants.
28. A compound or a pharmaceutically acceptable salt of any one of the claims 1 to 23 for use as a medicament.
29. A prodrug or a pharmaceutically acceptable salt of claim 24 for use as a medicament.
30. Use of a compound or a pharmaceutically acceptable salt of any of the claims 1 to 23 for the manufacture of a medicament for the treatment or prophylaxis of thromboembolism, thrombosis, arteriosclerosis, unstable angina, refractory angina, myocardial infarction, transient ischemic attacks, atrial fibrillation, thrombotic stroke, embolic stroke, deep vein



thrombosis, disseminated intravascular coagulation, ocular build up of fibrin, and reocclusion or restenosis of recanalized vessels.

31. Use of a prodrug or a pharmaceutically acceptable salt of claim 24 for the manufacture of a medicament for the treatment or prophylaxis of thromboembolism, thrombosis, atherosclerosis, unstable angina, refractory angina, myocardial infarction, transient ischemic attacks, atrial fibrillation, thrombotic stroke, embolic stroke, deep vein thrombosis, disseminated intravascular coagulation, ocular build up of fibrin, and reocclusion or restenosis of recanalized vessels.

32. Use of a compound according to any one of the claims 1 to 23 or a prodrug according to claim 24 as an anticoagulant or thrombin inhibitor.

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